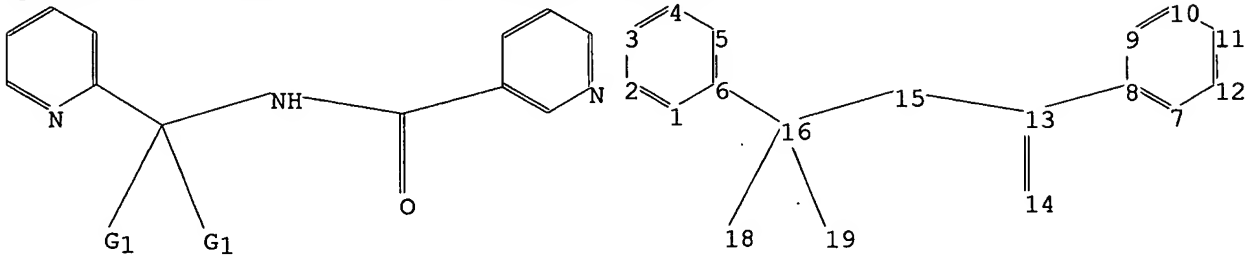
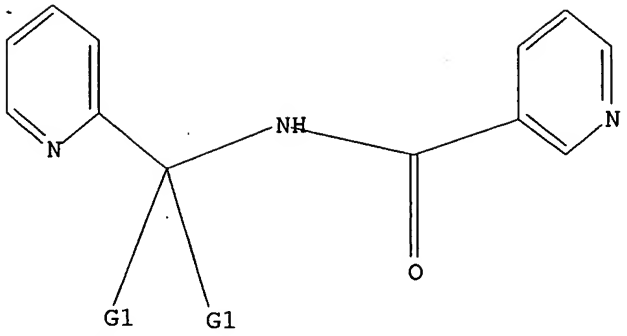
```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:34:32 ON 28 JUN 2005

=> file reg
=>
Uploading C:\Program Files\Stnexp\Queries\10501122.str
```




```
chain nodes :
13  14  15  16  18  19
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
6-16  8-13  13-14  13-15  15-16  16-19  16-18
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
13-14  13-15  15-16  16-19  16-18
exact bonds :
6-16  8-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
isolated ring systems :
containing 1 : 7 :

G1:H,Ak

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  18:CLASS  19:CLASS


L1      STRUCTURE UPLOADED

=> dis l1
L1 HAS NO ANSWERS
L1              STR
```




G1 H,Ak

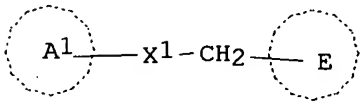
Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sam
L2            11 SEA SSS SAM L1

=> s l1 full
L3           155 SEA SSS FUL L1

=> file caplus
=> s l3
L4            35 L3

=> s l4 and pd<april 2002
      22348260 PD<APRIL 2002
                (PD<20020400)
L5            21 L4 AND PD<APRIL 2002

=> s l4 not l5
L6            14 L4 NOT L5

=> dis l6 1-14 bib abs

L6   ANSWER 1 OF 14  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:324138  CAPLUS
DN   142:392428
TI   Preparation of heterocyclic compounds as antifungal agents
IN   Nakamoto, Kazutaka; Tsukada, Itaru; Tanaka, Keigo; Matsukura, Masayuki;
     Haneda, Toru; Inoue, Satoshi; Ueda, Norihiro; Abe, Shinya; Hata, Katsura;
     Watanabe, Naoaki
PA   Eisai Co., Ltd., Japan
SO   PCT Int. Appl., 418 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
PI   WO 2005033079        A1    20050414    WO 2004-JP14063        20040927
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
```

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

PRAI JP 2003-342273 A 20030930
JP 2004-68186 A 20040310

GI




I

AB The title compds., e.g. I [ring A1 is optionally substituted 3-pyridyl, optionally substituted quinolyl, etc.; X1 is NHCO, etc.; and ring E is furyl, thienyl, pyrrolyl, Ph, pyridyl, tetrazolyl, thiazolyl, or pyrazolyl; provided that A1 may have one to three substituents and E has one or two substituents], are prepared 2,6-Diamino-N-(5-(4-fluorophenoxy)furan-2-ylmethyl)nicotinamide was prepared in a multistep process. Compds. of this invention in vitro showed MIC values of 0.1 μg/mL to 6.25 μg/mL against Candida.

RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:140809 CAPLUS
DN 142:240423
TI A preparation of antiproliferative 2-(heteroaryl)aminothiazole derivatives
IN Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi
PA Agouron Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 37 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2005038078 | A1 | 20050217 | US 2003-639219 | 20030811 |
| PRAI | US 2003-639219 | | 20030811 | | |

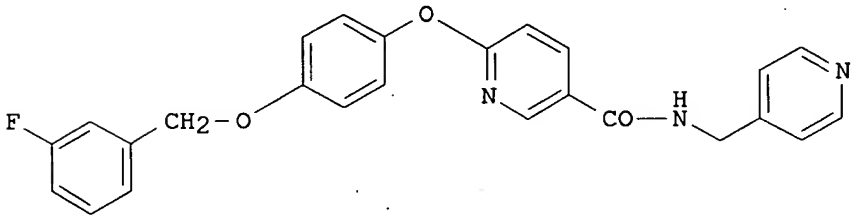
OS MARPAT 142:240423
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of 2-(heteroaryl)aminothiazole derivs. of formula I [wherein: R1 is H, alk(en/yn)yl, alkylamino, aryl, or cycloalkyl; R2 and R5 are independently selected from H, halogen, alkyl,

NH2, SMe, or NO2, etc.; R3 and R4 are independently selected from H, halogen, methoxy, or alkyl], useful as antiproliferative agents. For instance, nicotinamide derivative II (inhibition of HCT-116 cell growth: IC50 = 0.007 μM) was prepared via amidation of nicotinic acid derivative III by (N-methyl-pyrrolidin-2S-yl)methylamine with a yield of 60%.

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:44250 CAPLUS
DN 142:273352
TI Synthesis and structure-activity relationships of benzyloxyphenyl derivatives as a novel class of NCX inhibitors: effects on heart failure
AU Kuramochi, Takahiro; Kakefuda, Akio; Yamada, Hiroyoshi; Ogiyama, Takashi; Taguchi, Taku; Sakamoto, Shuichi
CS Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co. Ltd, Tsukuba, Ibaraki, 305-8585, Japan
SO Bioorganic & Medicinal Chemistry (2005), 13(3), 725-734
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
GI



I

AB In the context of heart failure and myocardial ischemia reperfusion, the activity of the sodium-calcium exchanger can lead to calcium overload, which in turn can lead to contractile dysfunction and arrhythmia. Therefore, NCX is an attractive target for treatment of heart failure and myocardial ischemia reperfusion. We have designed and synthesized a series of benzyloxyphenyl derivs. as potential NCX inhibitors. These derivs. have been evaluated for their inhibitory activity against both the reverse and forward modes of NCX, and two novel potent NCX inhibitors were discovered. Compound I was evaluated for its efficacy on ouabain-induced tonotropy and arrhythmia in a heart-failure model.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:14361 CAPLUS
DN 142:113905
TI Preparation of heterocyclic methyl sulfone derivatives as β-amyloid protein secretion and production inhibitors
IN Kubota, Hideki; Yasukouchi, Takanori; Miyauchi, Satoru; Motoki, Kayoko; Saito, Masanori; Iimori, Hitoshi
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 345 pp.
CODEN: PIXXD2
DT Patent

LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2005000798 | A1 | 20050106 | WO 2004-JP9132 | 20040629 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-187796 A 20030630
JP 2004-99151 A 20040330
OS MARPAT 142:113905
AB The title compds. R1R2R4CXR3 (R1 represents an optionally substituted heterocyclic group; R2 represents an optionally substituted cyclic hydrocarbon group or optionally substituted heterocyclic group; R3 represents an optionally substituted cyclic hydrocarbon group or optionally substituted heterocyclic group; R4 represents hydrogen or C1-6 alkyl; and X represents S, SO, or SO2), N-oxides thereof, S-oxides thereof, salts thereof, or solvates thereof are prepared 2-[[(4-Chlorophenyl)sulfonyl](cyclohexyl)methyl]-1,4-difluorobenzene was prepared in several steps from 2,5-difluorobenzyl alc. and 4-chlorobenzenethiol. In an in vitro assay for β-amyloid protein production inhibiting activity, compds. of this invention showed IC50 values of ≤ 5 nM to 500 nM.
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:740294 CAPLUS
DN 141:260769
TI Preparation of aminoheteroaryl compounds as protein kinase inhibitors
IN Cui, Jingjong Jean
PA Sugen, Inc., USA; Bhumralkar, Dilip; Botrous, Iriny; Chu Ji Yu; Funk, Lee A; Hanau, Cathleen Elizabeth; Harris, G. Davis, Jr,; Jia, Lei; et al.
SO PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004076412 | A2 | 20040910 | WO 2004-US5495 | 20040226 |
| WO 2004076412 | A3 | 20041229 | | |

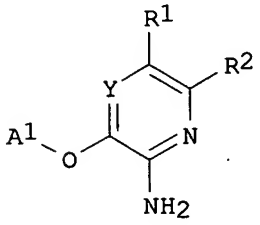
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,

BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| | US 2005009840 | A1 | 20050113 | US 2004-786610 | 20040226 |
| PRAI | US 2003-449588P | P | 20030226 | | |
| | US 2004-540229P | P | 20040129 | | |

OS MARPAT 141:260769

GI



I

AB The title aminopyridines and aminopyrazines [I; Y = N, CR11; R1 = aryl, heteroaryl, cycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, etc.; A1 = (CR9R10)nA2 (with provisos); R9, R10 = H, halo, alkyl, cycloalkyl, etc.; n = 0-4; A2 = aryl, heteroaryl, cycloalkyl, heterocyclic; R11 = halo, alkyl, alkoxy, etc.] which have activity as protein kinase inhibitors, including as inhibitors of c-MET (IC50 values given), were prepared E.g., a multi-step synthesis of 3-(3-methoxybenzyloxy)-5-phenylpyridin-2-amine, was given.

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:589549 CAPLUS
DN 141:140450
TI Preparation of 2-oxopyridin-3-yl thia(di)azoles as Cdk2 and Cdk5 kinase inhibitors for the treatment of cell proliferation-related disorders
IN Zhong, Wenge; Norman, Mark Henry; Kaller, Matthew; Nguyen, Thomas; Rzasa, Robert Michael; Tegley, Christopher; Wang, Hui-Ling
PA Amgen Inc., USA
SO PCT Int. Appl., 317 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004060890 | A1 | 20040722 | WO 2003-US41388 | 20031222 |
| | WO 2004060890 | C1 | 20040826 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| | US 2004147561 | A1 | 20040729 | US 2003-736289 | 20031212 |
| PRAI | US 2002-436787P | P | 20021227 | | |
| | US 2003-736289 | A | 20031212 | | |

OS MARPAT 141:140450
GI

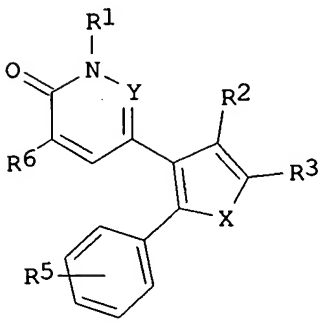
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = O or S; Q = NH2 and derivs., NHC(:O)H, alkyl-OH and derivs., (un)substituted monocyclic or bicyclic, etc; W = (un)substituted 1,3-thiazolyl, 1,2,4-thiadiazolyl; R1, R2, R3 = independently H, halo, aryl, alk(en/yn)yl, perfluoroalkyl, NO2, heterocyclyl, NH2 and derivs., etc.; R1CCR2 or R2CCR3 = 5-10 membered (un)saturated carbocyclic or heterocyclic and derivs.; with provisos; and pharmaceutically acceptable salts thereof] are disclosed as serine/threonine kinase inhibitors for effective treatment of cell proliferation or apoptosis-mediated diseases (no data). The invention encompasses I and pharmaceutically acceptable derivs. thereof, pharmaceutical compns., and methods for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer, and the like (no data). For example, II was prepared by cyclization of bromoacetylpyridinone (III) (preparation given) with 2-(2-thienylsulfonyl)ethanethioamide in EtOH under microwave conditions at 150° for 5 min. II exhibited Cdk2/cyclin and Cdk5/p25 kinase activity with IC50 values < 0.5 μM and inhibited cell proliferation of human PC-3 prostate cells, HCT 116 human colon carcinoma cells, or HT 29 human colon carcinoma cells with IC50 < 1 μM.

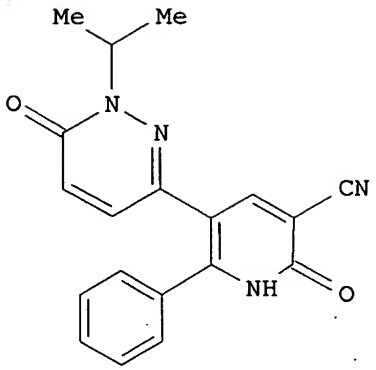
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:220316 CAPLUS
DN 140:253567
TI Preparation of pyridones and pyridazinones as adenosine antagonists and pharmaceutical use thereof
IN Tabuchi, Seiichiro; Tsutsumi, Hideo; Sato, Yoshinari; Akahane, Atsushi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004022540 | A2 | 20040318 | WO 2003-JP11271 | 20030903 |
| | WO 2004022540 | A3 | 20040701 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2004067955 | A1 | 20040408 | US 2003-653129 | 20030903 |

PRAI AU 2002-951245 A 20020906
AU 2002-952245 A 20021024
OS MARPAT 140:253567
GI



I



II

AB Pyridazinones or pyridones (shown as I; variables defined below; e.g. II) or a salt thereof are adenosine antagonists and are useful for the prevention and/or treatment of depression, dementia (e.g. Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.), Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure and the like. Methods of preparation are claimed and .apprx.160 example prepns. of I and 19 of intermediates are included. For example, II was prepared by cyclizing 6-[(E)-1-benzoyl-2-(dimethylamino)ethenyl]-2-isopropyl-3(2H)-pyridazinone with 2-cyanoacetamide. For I: X is -NHC(O)-, -N:C(R4)-; Y is N or CH; R1 is H or (un)substituted lower alkyl; R2 is H or halogen; R3 is H, lower alkyl, lower alkoxy, halogen, hydroxy, cyano, amino, carbamoyl, thiocarbamoyl, aryl, acyl, acylamino or heterocyclic group, each of which may be (un)substituted; R4 is H, lower alkyl, lower alkoxy, halogen, hydroxy, cyano, amino, carbamoyl, acyl, acylamino or -A-R7 wherein A is -CH:CH- or -CH:N-, and R7 is lower alkyl, lower alkoxy, hydroxy, cyano, acyl, aryl(lower)alkoxy or acyloxy, each of which may be (un)substituted; R5 is H, lower alkyl, lower alkoxy, halogen, hydroxy, each of which may be (un)substituted; and R6 is H or halogen. A1 and A2 adenosine receptor binding (Ki, nM) by 8 examples of I are tabulated; 5 of these I were also tested for anticatalepsy activity in mice.

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:143146 CAPLUS
DN 140:181441
TI Preparation of antiproliferative 2-(pyridylamino)thiazole compounds
IN Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li, Lin; Yang, Yi
PA Pfizer Inc., USA
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | |
|---|---|---|---|---|
| PI | WO 2004014904 | A1 | 20040219 | WO 2003-IB3181 20030729 |

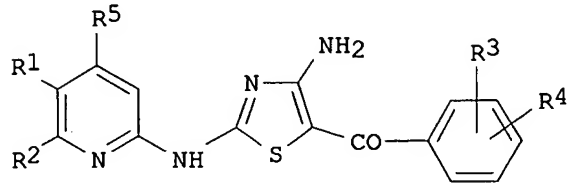
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

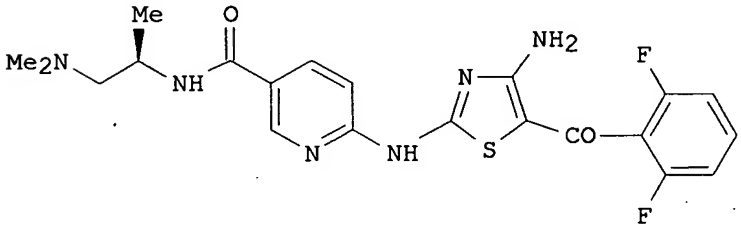
PRAI US 2002-402408P P 20020809

OS MARPAT 140:181441

GI



I



II

AB Thiazole derivs. of formula I [R1 = H, alkenyl, alkylamino, aryl, heteroaryl, cycloalkyl, etc.; R2, R5 = H, halo, alkyl, OMe, OH, amino, SH, SMe, etc.; R3, R4 = H, halo, OMe, alkyl] are prepared The compds. and pharmaceutical compns. containing them may be used in inhibiting and/or modulating protein kinases, in treating or preventing diseases associated with protein kinases, and/or in treating or preventing cellular proliferative diseases. Thus, II was prepared, and had IC50 and IC90 of 0.0026 and 0.0057 μM resp. against HCT-116 cells.

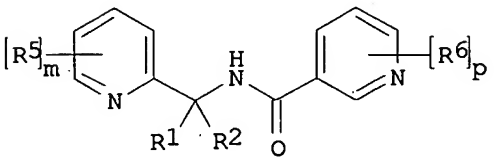
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:777760 CAPLUS
DN 139:276823
TI Preparation of pyridinyl amides and advantageous compositions thereof for use as fungicides
IN Foor, Stephen Ray
PA E. I. Du Pont de Nemours & Co., USA; Walker, Susannah Hf
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2

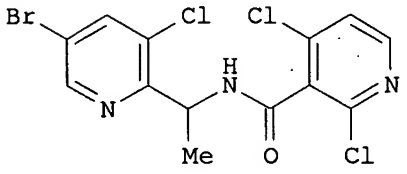
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003080576 | A2 | 20031002 | WO 2003-US8179 | 20030318 |
| | WO 2003080576 | A3 | 20040325 | | |
| | WO 2003080576 | C1 | 20050324 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1485355 | A2 | 20041215 | EP 2003-711615 | 20030318 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | BR 2003008366 | A | 20050125 | BR 2003-8366 | 20030318 |
| | US 2005020643 | A1 | 20050127 | US 2004-501122 | 20040709 |
| PRAI | US 2002-365765P | P | 20020319 | | |
| | WO 2003-US8179 | W | 20030318 | | |

OS MARPAT 139:276823
GI




I




II

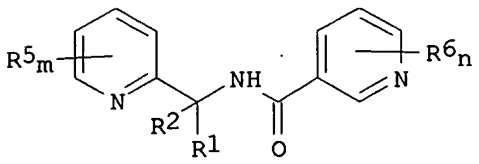
AB The title compds. [I; R1, R2 = H, alkyl; R5, R6 = alkyl, alkenyl, cycloalkyl, etc.; m and n = 1-4], useful for controlling plant diseases caused by fungal plant pathogens, were prepared E.g., a 4-step synthesis of II (starting from 5-bromo-2-pyridone) which showed 100% disease control in tests against Plasmopara viticola and Phytophthora infestans, was given. Compns. for controlling plant diseases caused by fungal plant pathogens are described, comprising: (a) at least one compound I, and (b) at least one compound selected from the group consisting of (b1) alkylenebis(dithiocarbamate) fungicides; (b2) compds. acting at the bc1

complex of the fungal mitochondrial respiratory electron transfer site; (b3) cymoxanil; (b4) compds. acting at the demethylase enzyme of the sterol biosynthesis pathway; (b5) morpholine and piperidine compds. that act on the sterol biosynthesis pathway; (b6) phenylamide fungicides; (b7) pyrimidinone fungicides; (b8) phthalimides; and (b9) fosetyl-aluminum. Also disclosed are methods for controlling plant diseases caused by fungal plant pathogens that involves applying an effective amount of the combinations described.

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:777484 CAPLUS
DN 140:141086
TI Synergistic fungicide compositions containing N-[(2-pyridinyl)methyl]-3-pyridinecarboxamide derivatives and one or more further fungicides
IN Foor, Stephen Ray; Walker, Michael Paul
PA E.I. Du Pont De Nemours and Company, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003079787 | A1 | 20031002 | WO 2003-US8186 | 20030318 |
| | WO 2003079787 | C2 | 20040212 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1484969 | A1 | 20041215 | EP 2003-716658 | 20030318 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | BR 2003008459 | A | 20050118 | BR 2003-8459 | 20030318 |
| | US 2005009889 | A1 | 20050113 | US 2004-501853 | 20040709 |
| PRAI | US 2002-365766P | P | 20020319 | | |
| | WO 2003-US8186 | W | 20030318 | | |

OS MARPAT 140:141086
GI




I

AB Compns. for controlling plant diseases caused by fungal plant pathogens comprise: (a) at least one compound I (Markush included), including all geometric and stereoisomers, N-oxides and agriculturally suitable salts

thereof; and (b) at least one compound selected from the group consisting of (b1) alkylenebis(dithiocarbamate) fungicides; (b2) compds. acting at the bc1 complex of the fungal mitochondrial respiratory electron transfer site; (b3) cymoxanil; (b4) compds. acting at the demethylase enzyme of the sterol biosynthesis pathway; (b5) morpholine and piperidine compds. that act on the sterol biosynthesis pathway; (b6) phenylamide fungicides; (b7) pyrimidinone fungicides; (b8) phthalimides; and (b9) fosetyl-aluminum. The above fungicidal compns. are particularly useful in control of plant diseases caused by Phytophthora infestans and Plasmopara viticola.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:23106 CAPLUS
DN 138:83329
TI Use of metal ion chelates in validating biological molecules as drug targets in test animal models
IN Rist, Oystein; Hogberg, Thomas; Holst Lange, Birgitte; Schwartz, Thue W.; Elling, Christian E.
PA 7TM Pharma A/S, Den.
SO PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003003009 | A1 | 20030109 | WO 2002-DK456 | 20020628 |
| | W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | WO 2002054077 | A2 | 20020711 | WO 2001-DK867 | 20011221 |
| | W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

| | | | |
|---|---|---|---|
| PRAI | DK 2001-1026 | A | 20010629 |
| | DK 2001-1027 | A | 20010629 |
| | DK 2001-1028 | A | 20010629 |
| | DK 2001-1030 | A | 20010629 |
| | DK 2001-1031 | A | 20010629 |
| | US 2001-301931P | P | 20010629 |
| | WO 2001-DK867 | A | 20011221 |
| | WO 2000-EP13389 | W | 20001229 |
| | DK 2001-536 | A | 20010330 |

US 2001-280237P P 20010330
OS MARPAT 138:83329
AB The invention discloses the use of chemical compds. or selections of chemical compds. (libraries) of the general Formula R1XFY(R1)GZR1 [F, G = N, O, S, Se, P; X, Y, Z = (un)branched C1-12 alkyl, aryl, heteroaryl, etc.; R1 = ABC; A = coupling or connecting moiety; B = spacer moiety; C = functional group] for in vivo methods for testing or validating the physiol. importance and/or the therapeutic or pharmacol. potential of biol. target mols., notably proteins such as, e.g., receptors and especially 7TM receptors in
test animals expressing the biol. target mol. with, notably, a silent, engineered metal ion site. Use of specific metal ion binding sites of a generic nature in specific biol. target mols. such as, e.g. transmembrane proteins wherein the metal ion binding site is capable of forming a complex with a metal ion is also described. Also disclosed are chemical compds. or libraries suitable for use in methods for improving the in vivo pharmacokinetic behavior of metal ion chelates (e.g. the absorption pattern, the plasma half-life, the distribution, the metabolism and/or the elimination of the metal ion chelates). In order to improve the efficacy of the impact of the metal ion chelate on the biol. target mol. after administration of the metal ion chelate in vivo to a test animal, it is advantageous e.g. to increase the period during which the metal ion chelate is in the circulatory system and/or localized at the target. Further disclosed are metal ion-chelating compds. designed to be suitable for use in a target validation process according to the invention, as well as libraries of at least two or more of such metal ion-chelating compds.
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:23105 CAPLUS
DN 138:83328
TI Metal ion binding-based chemical libraries useful for drug discovery processes
IN Hoegberg, Thomas; Rist, Oystein; Hjelmencrantz, Anders; Moldt, Peter; Elling, Christian E.; Schwartz, Thue W.; Gerlach, Lars Ole; Holst Lange, Birgitte
PA 7TM Pharma A/S, Den.
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003003008 | A1 | 20030109 | WO 2002-DK455 | 20020628 |

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | |
|---|---|---|---|
| PRAI DK 2001-1029 | A | 20010629 | |
| DK 2001-1032 | A | 20010629 | |

| | | | |
|---|---|---|---|
| | DK 2001-1033 | A | 20010629 |
| | DK 2001-1034 | A | 20010629 |
| | DK 2001-1035 | A | 20010629 |
| | US 2001-301989P | P | 20010629 |
| | US 2001-301990P | P | 20010629 |

OS MARPAT 138:83328

AB The invention discloses the use of chemical compds. or selections of chemical compds. (libraries) of the general formula R1XFY(R1)GZR1 [F, G = N, O, S, Se, P; X, Y, Z = (un)branched C1-12 alkyl, (hetero)aryl, etc.; R1 = H, ABC; A = coupling or connecting moiety; B = spacer moiety; C = functional group] for in vivo methods for testing or validating the physiol. importance and/or the therapeutic or pharmacol. potential of biol. target mols., notably proteins such as, e.g., receptors and especially 7TM receptors in test animals expressing the biol. target mol. with, notably, a silent, engineered metal ion site. Use of specific metal ion binding sites of a generic nature in specific biol. target mols. such as, e.g. transmembrane proteins wherein the metal-ion binding site is capable of forming a complex with a metal ion is also described. The invention provides chemical compds. or libraries suitable for use in methods for improving the in vivo pharmacokinetic behavior of metal-ion chelates (e.g. the absorption pattern, the plasma half-life, the distribution, the metabolism and/or the elimination of the metal ion chelates). In order to improve the efficacy of the metal ion chelates impact on the biol. target mol. after administration of the metal ion chelate in vivo to a test animal, it is advantageous e.g. to increase the time period during which the metal ion chelate is in the circulatory system and/or localized at the target. Metal ion chelating compds., which are designed to be suitable for use in a target validation process according to the invention and to libraries of at least two or more of such metal-ion chelating compds. are disclosed.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

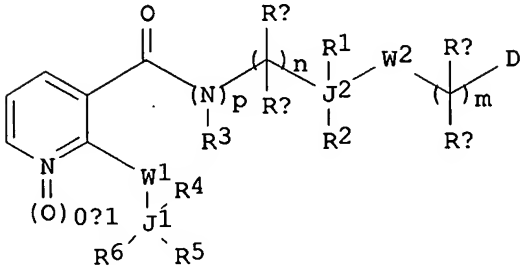
L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:594842 CAPLUS
DN 137:154859
TI Preparation of carbamoyl-substituted pyridinyl aryl ether derivatives as inhibitors of phosphodiesterase IV isozymes
IN Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002060896 | A1 | 20020808 | WO 2001-IB2726 | 20011224 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2436544 | AA | 20020808 | CA 2001-2436544 | 20011224 |

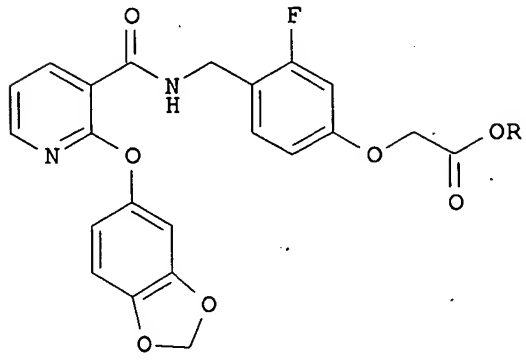
| | | | | | |
|---|---|---|---|---|---|
| | EE 200300361 | A | 20031215 | EE 2003-361 | 20011224 |
| | EP 1373258 | A1 | 20040102 | EP 2001-273558 | 20011224 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001016845 | A | 20040225 | BR 2001-16845 | 20011224 |
| | JP 2004518689 | T2 | 20040624 | JP 2002-561464 | 20011224 |
| | NZ 526531 | A | 20050225 | NZ 2001-526531 | 20011224 |
| | US 2003027845 | A1 | 20030206 | US 2002-66503 | 20020131 |
| | US 6828333 | B2 | 20041207 | | |
| | ZA 2003004893 | A | 20040624 | ZA 2003-4893 | 20030624 |
| | BG 107960 | A | 20041029 | BG 2003-107960 | 20030701 |
| | NO 2003003399 | A | 20030925 | NO 2003-3399 | 20030730 |
| | US 2005049258 | A1 | 20050303 | US 2004-918820 | 20040813 |
| PRAI | US 2001-265304P | P | 20010131 | | |
| | WO 2001-IB2726 | W | 20011224 | | |
| | US 2002-66503 | A3 | 20020131 | | |

OS MARPAT 137:154859

GI



I



II

AB Title compds. compds. I [wherein p = 0-1, provided that when p = 0, n = 2; m = 1-3; n = 1-2; W1 and W2 = independently O, S(O)0-2, or NR3; Y = =C(R1a) or N(O)0-1; R1a = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, fluoroalkoxy, OR16, or (un)substituted carbamoyl; RA and RB = independently H, F, CF3, or (un)substituted (cyclo)alkyl, Ph, or benzyl; or CRARB = spiro moiety; RC and RD = the same as RA and RB except that one of them must be H; R1 and R2 = independently H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, OR16, or (un)substituted carbamoyl; R3 = H, alkyl, Ph, benzyl, or OR16; R4, R5 and R6 = independently H, F. Cl, alkynyl, R16,

OR16, SO0-2R16, COR16, CO2R16, OCOR16, CN, NO2, (un)substituted carbamoyl(oxy), ureido, carboximidoyl, aryl, heterocyclyl, etc.; or R5 and R6 taken together with the atoms to which they are attached = (hetero)cyclyl; J1 and J2 = independently (un)substituted, (un)saturated monocyclic or fused polycyclic ring; D = (un)substituted carboxy, carbamoyl, acyl, hydroxy(alkyl), cyano(alkyl), etc.; R16 = H or (un)substituted (cyclo)alkyl, alkenyl, Ph, benzyl, or pyridyl] were prepared as inhibitors of PDE4 (no data). For example, 2-(benzo[1,3]dioxol-5-yloxy)nicotinic acid was coupled with (4-aminomethyl-3-fluorophenoxy)acetic acid Me ester in the presence of 1-hydroxybenzotriazole•H2O and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide•HCl in DMF/CH2Cl2 to give the pyridinecarboxamide II (R = Me) in 38% yield. Saponification using aqueous LiOH in THF and MeOH afforded the desired acid II (R = OH) in 21% yield. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addition, I may be used in combination therapy with a wide variety of other therapeutic agents.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

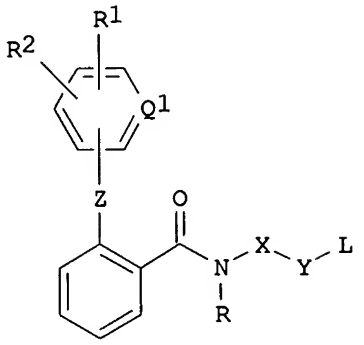
L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:275966 CAPLUS
DN 136:294739
TI Preparation of pyridinyl-substituted benzamides as Apo B secretion inhibitors
IN Takasugi, Hisashi; Terasawa, Takeshi; Inoue, Yoshikazu; Nakamura, Hideko; Nagayoshi, Akira; Ohtake, Hiroaki; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Ohtsubo, Makoto
PA Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.
SO PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002028835 | A1 | 20020411 | WO 2001-JP8581 | 20010928 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2425097 | AA | 20020411 | CA 2001-2425097 | 20010928 |
| | AU 2001092315 | A5 | 20020415 | AU 2001-92315 | 20010928 |
| | EP 1326835 | A1 | 20030716 | EP 2001-972612 | 20010928 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001014657 | A | 20030930 | BR 2001-14657 | 20010928 |
| | JP 2004510763 | T2 | 20040408 | JP 2002-532421 | 20010928 |
| | NZ 525591 | A | 20040430 | NZ 2001-525591 | 20010928 |
| | NO 2003001540 | A | 20030605 | NO 2003-1540 | 20030404 |
| | ZA 2003003371 | A | 20040730 | ZA 2003-3371 | 20030430 |

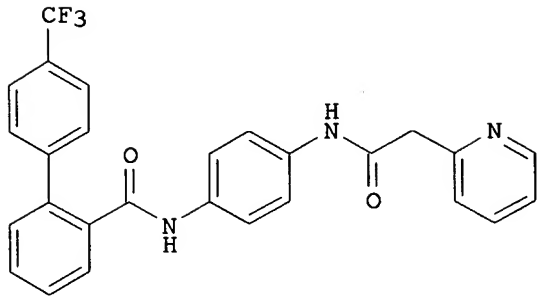
| | | | | | |
|---|---|---|---|---|---|
| | US 2004058903 | A1 | 20040325 | US 2003-381737 | 20030903 |
| PRAI | AU 2000-583 | A | 20001005 | | |
| | AU 2001-6666 | A | 20010727 | | |
| | WO 2001-JP8581 | W | 20010928 | | |

OS MARPAT 136:294739

GI

I



II

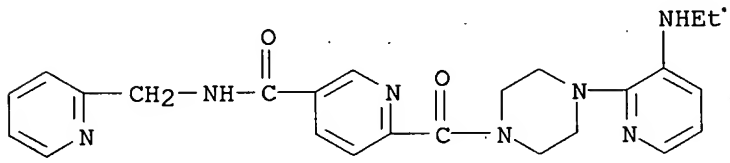

AB Title compds. I [wherein R1 and R2 = independently alkyl, alkenyl, acyl, amino, (cyclo)alkoxy, aryl(oxy), sulfoxy, mercapto, sulfo, H, halo, NO2, CN, or OH; or R1R2 = a ring; Q1 = N or CH; L = (un)substituted unsatd. 3 to 10-membered heterocyclic group; X = (un)substituted monocyclic (hetero)arylene; Y = (A1)m(A2)n(A4)k; Z = direct bond, CH2, NH, or O; R = H or alkyl; A1 = (un)substituted alkylene or alkenylene; A2 = NR3, CONR3, NHCONH, CO2, O, O(CH2)2NR3, S, SO, or SO2; A4 = alkylene, alkenylene, or alkynylene; R3 = H or suitable substituent; k, m, and m = independently 0 or 1; or a salt thereof] were prepared as apolipoprotein B (Apo B) secretion inhibitors. For example, to a suspension of N-(4-aminophenyl)-4'-(trifluoromethyl)-1,1'-biphenyl-2-carboxamide, 2-pyridinylacetic acid•HCl, and HOBT•H2O in CH2Cl2 was added to WSC•HCl, followed by TEA at 5°C. The mixture was stirred at room temperature for 24 h and worked up to give II. The latter inhibited Apo B secretion by 100% at 10-6 M in HepG2 cells and lowered cholesterol by 83% and triglyceride by 35% after 2 h at a dose of 32 mg/kg in ddY-mice. I are useful for the prophylaxis and treatment of diseases or conditions resulting from elevated circulating levels of Apo B, such as hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, pancreatitis,

non-insulin dependent diabetes mellitus, obesity, coronary heart diseases, myocardial infarction, stroke, restenosis, and Syndrome X.

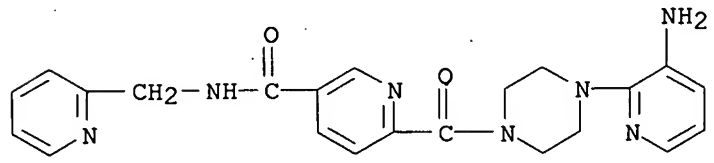
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis l5 1-21 bib abs hitstr

L5 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:675130 CAPLUS
DN 138:265330
TI 2,5-Pyridinedicarboxylic acid derivatives as non-Nucleosidic Reverse transcriptase inhibitors of Hepatitis B Virus
AU Lee, Jin Soo; Shim, Hyung Soo; Park, Yong Kyun; Park, Sang Jin; Shin, Joon Su; Yang, Wang Yong; Lee, Hak Dong; Park, Whui Jung; Chung, Yong Ho; Lee, Sang Wook
CS Central Research Laboratory, DongWha Pharm. Ind. Co. Ltd., Seoul, 430-017, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (**2002**), 12(19), 2715-2717
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB 2,5-Pyridinedicarboxylic acid derivs. were found to be the potent non-nucleoside inhibitors of hepatitis B virus (HBV) with IC50 ≤0.01 μg/mL in a reverse transcriptase inhibitory effect. And they showed the low toxicity compared with the nucleoside analogs.
IT **250145-50-7P 503568-77-2P 503568-79-4P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2,5-pyridinedicarboxylic acid derivs. as non-nucleosidic reverse transcriptase inhibitors of hepatitis B virus)
RN 250145-50-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[4-[3-(ethylamino)-2-pyridinyl]-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

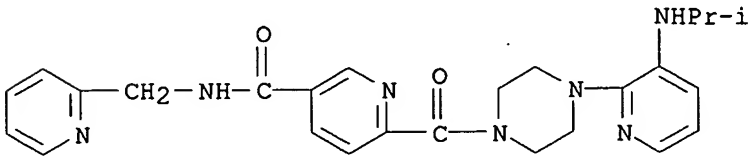



RN 503568-77-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[4-(3-amino-2-pyridinyl)-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)




RN 503568-79-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

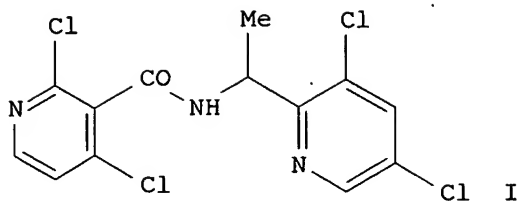


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:220560 CAPLUS
DN 136:263098
TI Preparation of pyridinyl amides and imides for use as fungicides
IN Neubert, Timothy Donald; Piotrowski, David Walter; Walker, Michael Paul
PA E. I. Du Pont de Nemours & Co., USA
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002022583 | A2 | 20020321 | WO 2001-US28971 | 20010917 <-- |
| | WO 2002022583 | A3 | 20020718 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002011233 | A5 | 20020326 | AU 2002-11233 | 20010917 <-- |
| | BR 2001014122 | A | 20030701 | BR 2001-14122 | 20010917 |
| | EP 1322614 | A2 | 20030702 | EP 2001-979248 | 20010917 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | JP 2004518629 | T2 | 20040624 | JP 2002-526836 | 20010917 |
| | ZA 2003000643 | A | 20040219 | ZA 2003-643 | 20030123 |
| | US 2004044040 | A1 | 20040304 | US 2003-380243 | 20030312 |
| PRAI | US 2000-233374P | P | 20000918 | | |
| | US 2001-277199P | P | 20010320 | | |
| | WO 2001-US28971 | W | 20010917 | | |

OS MARPAT 136:263098
GI



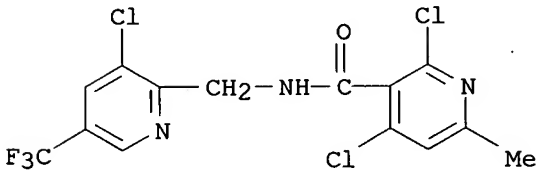
I

AB Title compds. [ACRR1R2YWB; A is a substituted pyridinyl ring; B is a substituted pyridinyl ring; W is C:L, SOn; L = O, S, CXR4; R1 and R2 are each independently = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, each optionally substituted; Y = NR3; R3 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, C2-C6 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl; R4 = C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, each optionally substituted; X = O, S; n = 1, 2; provided that when W is CO and R1, R2 and R3 are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl], N-oxides and agriculturally suitable salts are prepared and disclosed which are useful as fungicides. Also disclosed are compns. containing the compds. I and a method for controlling plant diseases caused by fungal plant pathogens that involves applying an effective amount of a compound I.

IT **404875-64-5P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinyl amides and imides for use as fungicides)

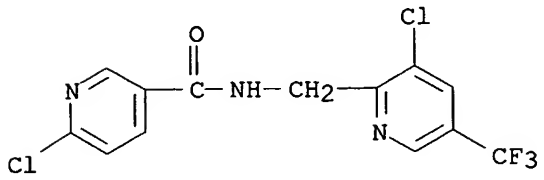
RN 404875-64-5 CAPLUS

CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl- (9CI) (CA INDEX NAME)

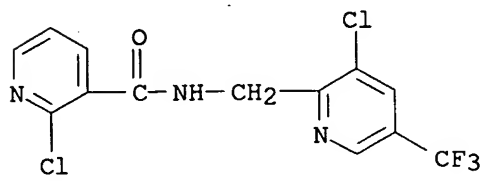


IT **326475-70-1P 326475-74-5P 326475-80-3P**
**326475-82-5P 326475-97-2P 326475-99-4P**
**326476-01-1P 404875-61-2P 404875-62-3P**
**404875-63-4P 404875-65-6P 404875-66-7P**
**404875-67-8P 404875-68-9P 404875-69-0P**
**404875-70-3P 404875-71-4P 404875-72-5P**
**404875-73-6P 404875-74-7P 404875-75-8P**
**404875-76-9P 404875-77-0P 404875-78-1P**
**404875-79-2P 404875-80-5P 404875-81-6P**
**404875-82-7P 404875-83-8P 404875-84-9P**
**404875-89-4P 404875-93-0P 404875-94-1P**
**404875-95-2P 404875-96-3P 404876-52-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyridinyl amides and imides for use as fungicides)

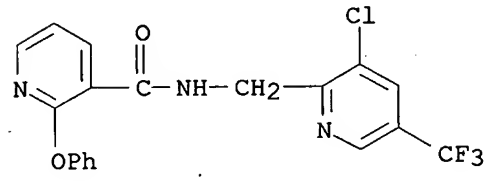
RN 326475-70-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



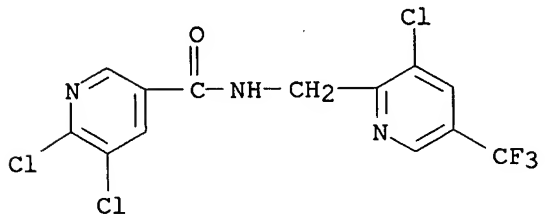
RN 326475-74-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



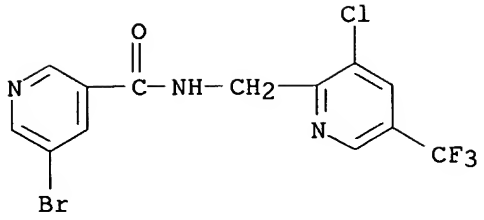
RN 326475-80-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-phenoxy- (9CI) (CA INDEX NAME)



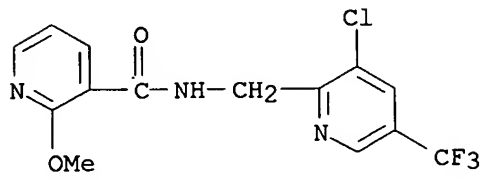
RN 326475-82-5 CAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



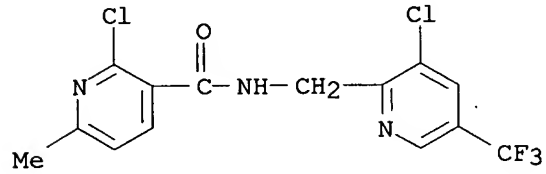
RN 326475-97-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



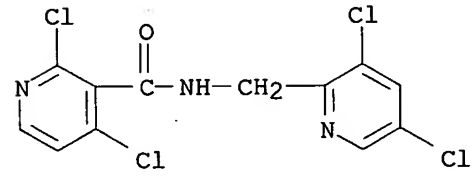
RN 326475-99-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



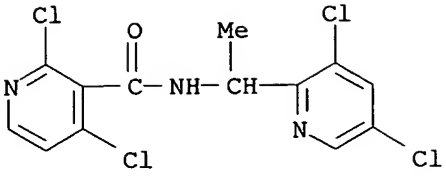
RN 326476-01-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



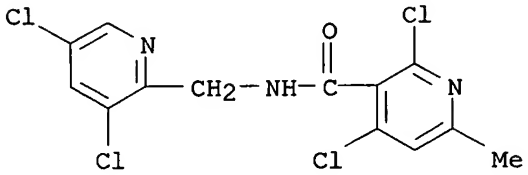
RN 404875-61-2 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[(3,5-dichloro-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



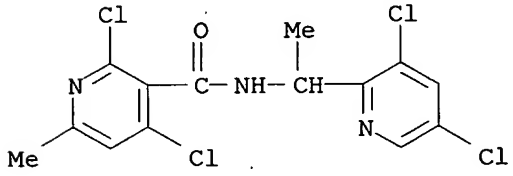
RN 404875-62-3 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[1-(3,5-dichloro-2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



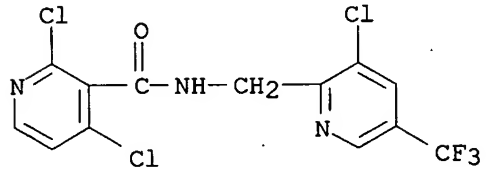
RN 404875-63-4 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[(3,5-dichloro-2-pyridinyl)methyl]-6-methyl- (9CI) (CA INDEX NAME)



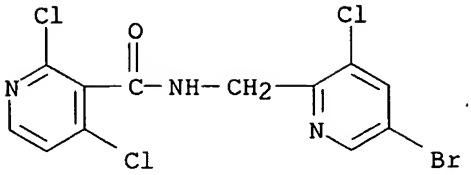
RN 404875-65-6 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[1-(3,5-dichloro-2-pyridinyl)ethyl]-6-methyl- (9CI) (CA INDEX NAME)



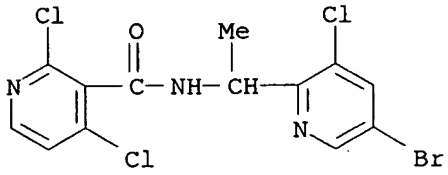
RN 404875-66-7 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



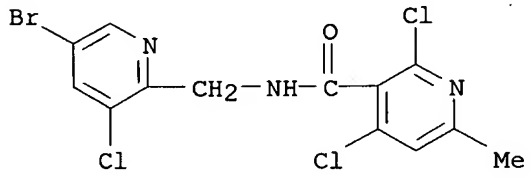
RN 404875-67-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro- (9CI) (CA INDEX NAME)



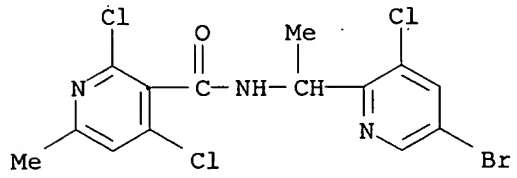
RN 404875-68-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro- (9CI) (CA INDEX NAME)



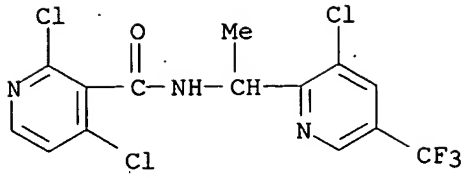
RN 404875-69-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[(5-bromo-3-chloro-2-pyridinyl)methyl]-2,4-dichloro-6-methyl- (9CI) (CA INDEX NAME)



RN 404875-70-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(5-bromo-3-chloro-2-pyridinyl)ethyl]-2,4-dichloro-6-methyl- (9CI) (CA INDEX NAME)

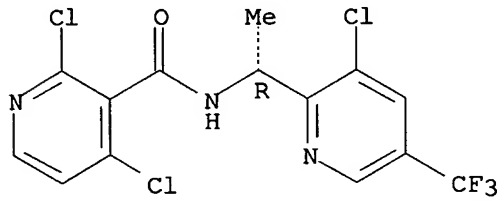


RN 404875-71-4 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

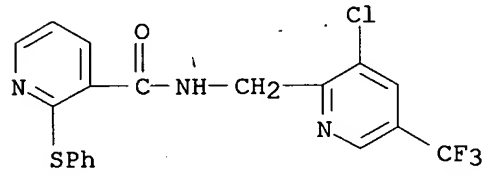


RN 404875-72-5 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[(1R)-1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

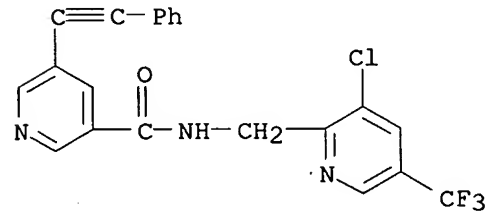
Absolute stereochemistry.



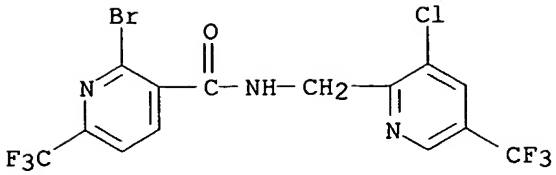
RN 404875-73-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(phenylthio)- (9CI) (CA INDEX NAME)



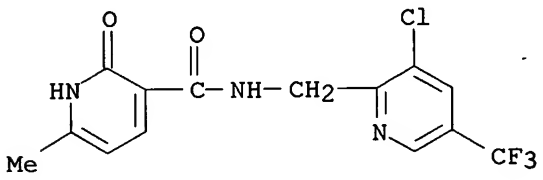
RN 404875-74-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-5-(phenylethynyl)- (9CI) (CA INDEX NAME)



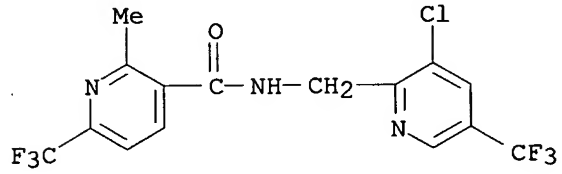
RN 404875-75-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-bromo-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



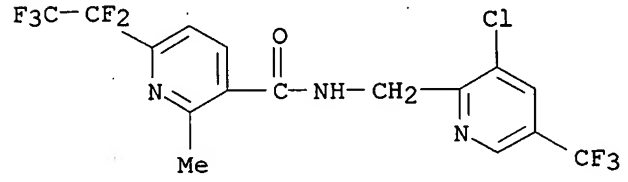
RN 404875-76-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-1,2-dihydro-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



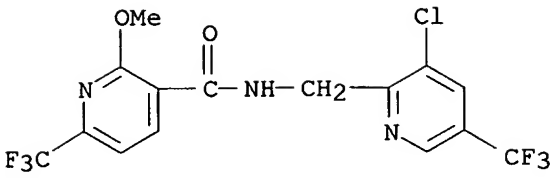
RN 404875-77-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



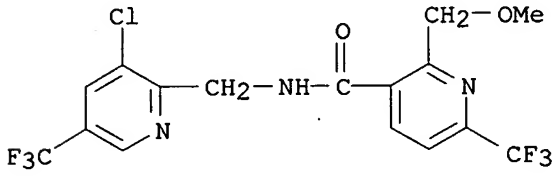
RN 404875-78-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methyl-6-(pentafluoroethyl)- (9CI) (CA INDEX NAME)



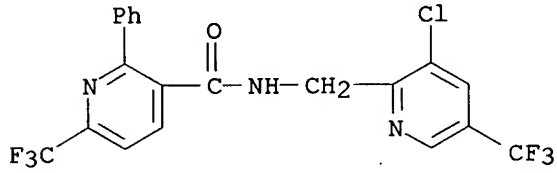
RN 404875-79-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methoxy-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



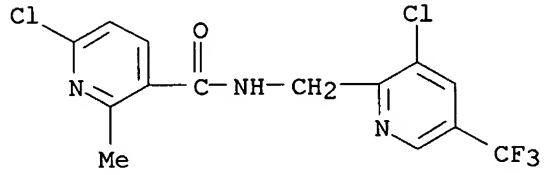
RN 404875-80-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(methoxymethyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



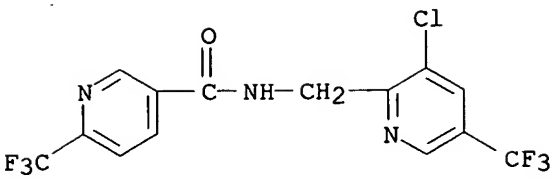
RN 404875-81-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-phenyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



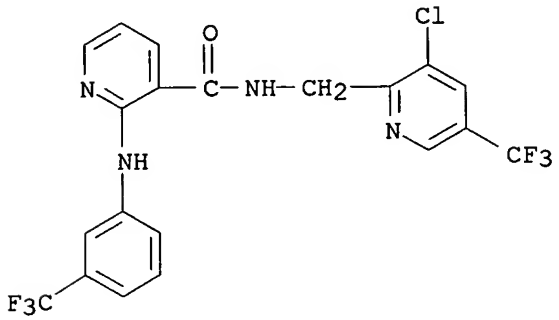
RN 404875-82-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 404875-83-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

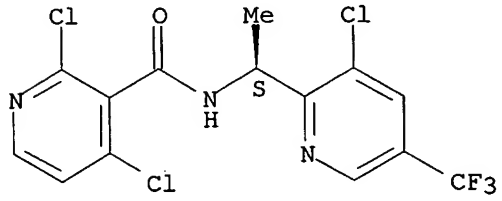


RN 404875-84-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

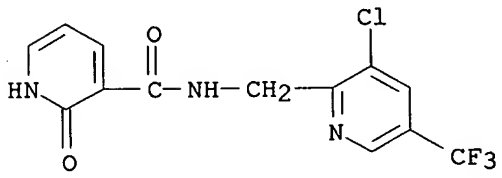


RN 404875-89-4 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[(1S)-1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

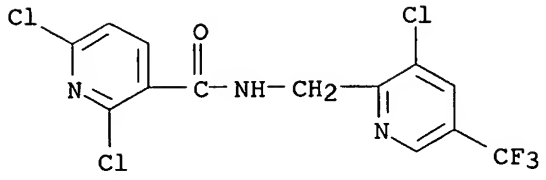


RN 404875-93-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

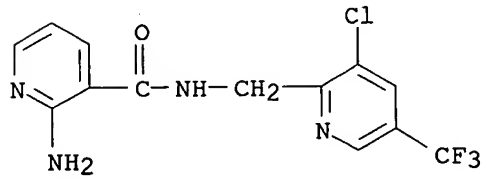


RN 404875-94-1 CAPLUS
CN 3-Pyridinecarboxamide, 2,6-dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-

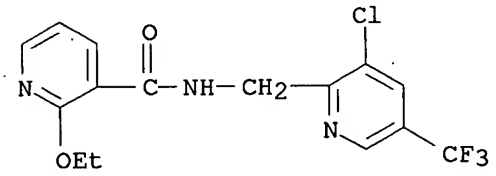
pyridinyl]methyl]- (9CI) (CA INDEX NAME)



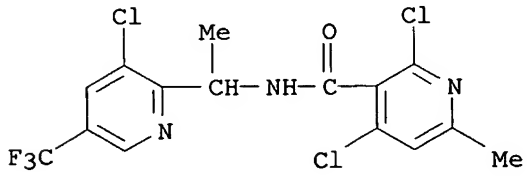
RN 404875-95-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-amino-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 404875-96-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-ethoxy- (9CI) (CA INDEX NAME)



RN 404876-52-4 CAPLUS
CN 3-Pyridinecarboxamide, 2,4-dichloro-N-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-6-methyl- (9CI) (CA INDEX NAME)

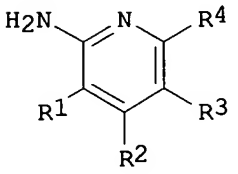


L5 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:142677 CAPLUS
DN 136:200106
TI Preparation of 2-aminopyridine derivatives as adenosine receptor antagonists
IN Harada, Hitoshi; Asano, Osamu; Miyazawa, Shuhei; Ueda, Masato; Yasuda, Masahiro; Yasuda, Nobuyuki

PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002014282 | A1 | 20020221 | WO 2001-JP6870 | 20010809 <-- |
| | W: AU, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| | AU 2001077741 | A5 | 20020225 | AU 2001-77741 | 20010809 <-- |
| | CA 2417846 | AA | 20030130 | CA 2001-2417846 | 20010809 |
| | EP 1308441 | A1 | 20030507 | EP 2001-955633 | 20010809 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR | | | | |
| | RU 2250898 | C2 | 20050427 | RU 2003-106397 | 20010809 |
| | ZA 2003000482 | A | 20040510 | ZA 2003-482 | 20030117 |
| | US 2004006082 | A1 | 20040108 | US 2003-333689 | 20030123 |
| | US 6750232 | B2 | 20040615 | | |
| | NO 2003000637 | A | 20030410 | NO 2003-637 | 20030207 |
| PRAI | JP 2000-245056 | A | 20000811 | | |
| | WO 2001-JP6870 | W | 20010809 | | |

OS MARPAT 136:200106
GI

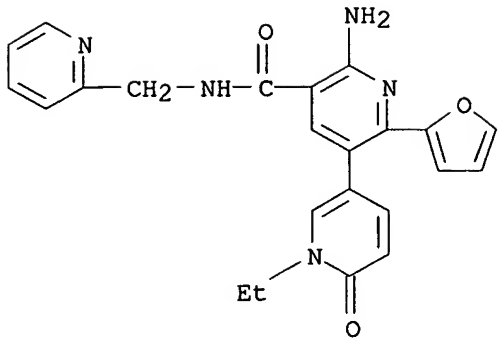


I

AB The title compds. I [R1 is cyano, carboxyl, or optionally substituted carbamoyl; R2 is hydrogen, hydroxyl, optionally substituted C1-6 alkoxy, an optionally substituted C6-14 aromatic carbocyclic group, or an optionally substituted 5- to 14-membered aromatic heterocyclic group; and R3 and R4 are each independently an optionally substituted C6-14 aromatic carbocyclic group, a 5- to 14-membered nonarom.. heterocyclic group, a 5- to 14-membered aromatic heterocyclic group, or the like] are prepared In an assay for the A2b receptor antagonism, 2-amino-6-(2-furyl)-5-(4-pyridyl)-3-pyridinecarbonitrile showed IC50 of 2.7 nM.

IT **400761-96-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyridine derivs. as adenosine receptor antagonists)

RN 400761-96-8 CAPLUS
CN [3,3'-Bipyridine]-5-carboxamide, 6-amino-1'-ethyl-2-(2-furanyl)-1',6'-dihydro-6'-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:581887 CAPLUS
DN 135:152812
TI Preparation of nicotinamide benzofused-heterocyclyl derivatives as selective inhibitors of PDE4 isozymes
IN Marfat, Anthony; Chamber, Robert James
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001057036 | A1 | 20010809 | WO 2001-IB124 | 20010130 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2398182 | AA | 20010809 | CA 2001-2398182 | 20010130 <-- |
| | BR 2001007964 | A | 20021029 | BR 2001-7964 | 20010130 |
| | EP 1252158 | A1 | 20021030 | EP 2001-901333 | 20010130 |
| | EP 1252158 | B1 | 20050420 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | TR 200201880 | T2 | 20021121 | TR 2002-200201880 | 20010130 |
| | JP 2003522176 | T2 | 20030722 | JP 2001-557868 | 20010130 |
| | EE 200200425 | A | 20031015 | EE 2002-425 | 20010130 |
| | NZ 519547 | A | 20040326 | NZ 2001-519547 | 20010130 |
| | AT 293624 | E | 20050515 | AT 2001-901333 | 20010130 |
| | BG 106852 | A | 20030228 | BG 2002-106852 | 20020620 |
| | US 2003186989 | A1 | 20031002 | US 2002-181416 | 20020724 |
| | ZA 2002006033 | A | 20030729 | ZA 2002-6033 | 20020729 |
| | NO 2002003613 | A | 20020930 | NO 2002-3613 | 20020730 |
| PRAI | US 2000-179284P | P | 20000131 | | |

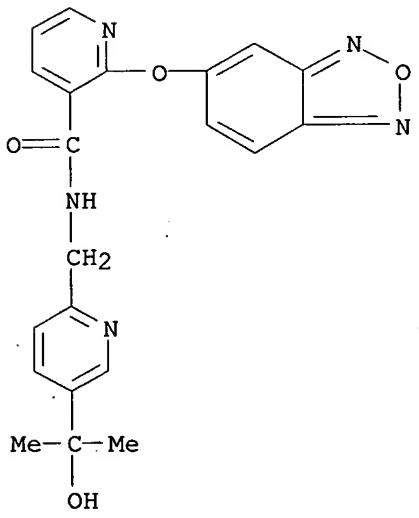
WO 2001-IB124 W 20010130
OS MARPAT 135:152812
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

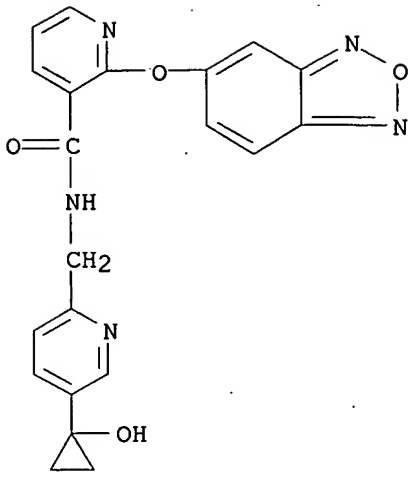
AB The title compds. [I; m = 0-2; n = 1-2; W = O, SOt (wherein t = 0-2), NR3; Y = CH, CF, NO, etc.; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = H, F, CN, etc.; R5 and R6 are taken together to form II-VI (R7, R8 = H, Me, OH, alkoxy); R9, R10 = H, F, CF3, etc.; R11, R12 = R9, R10, except that at least one of R11 and R12 must be H atom; Q = Ph, pyrrolyl, furanyl, etc.; Z = CN, OH, O(alkyl), etc.], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared Thus, amidation of 2-(benzo[2,1,3]oxadiazol-5-yloxy)nicotinic acid (preparation given) with 2-(4-aminomethylphenyl)propan-2-ol afforded 68% the nicotinamide VII.

IT **353281-70-6P 353281-84-2P 353282-24-3P**
**353282-52-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamide benzofused-heterocyclyl derivs. as selective inhibitors of PDE4 isoenzymes)

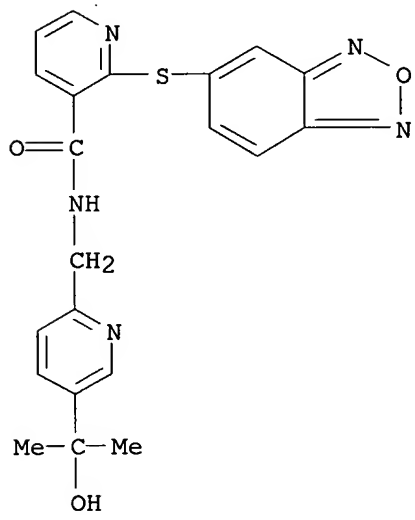
RN 353281-70-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-(2,1,3-benzoxadiazol-5-yloxy)-N-[[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



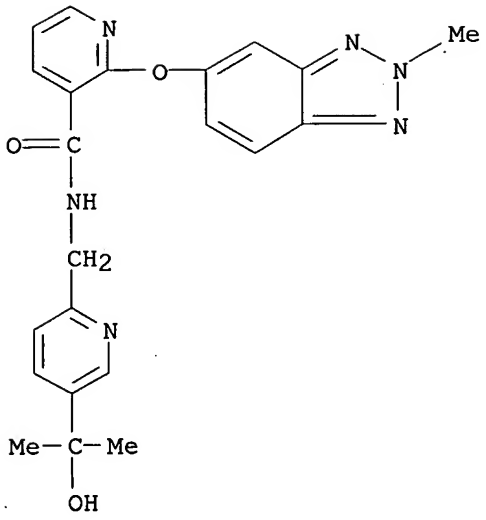
RN 353281-84-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-(2,1,3-benzoxadiazol-5-yloxy)-N-[[5-(1-hydroxycyclopropyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 353282-24-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-(2,1,3-benzoxadiazol-5-ylthio)-N-[[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 353282-52-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[5-(1-hydroxy-1-methylethyl)-2-pyridinyl]methyl]-2-[(2-methyl-2H-benzotriazol-5-yl)oxy]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:136944 CAPLUS
DN 134:174247
TI Preparation of fungicidal nitrogen compounds.
IN Cooke, Tracey; Ekwuru, Tennyson; Hardy, David; Millward, Peter; Moloney, Brian; Pettinger, Andrew; Thomas, Peter Stanley; Turner, Richar Michael
PA Aventis CropScience GmbH, Germany
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001011966 | A1 | 20010222 | WO 2000-EP8269 | 20000811 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | BR 2000013367 | A | 20020507 | BR 2000-13367 | 20000811 |
| | EP 1204322 | A1 | 20020515 | EP 2000-956481 | 20000811 |
| | EP 1204322 | B1 | 20050209 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | JP 2003506466 | T2 | 20030218 | JP 2001-516329 | 20000811 |
| | AT 288681 | E | 20050215 | AT 2000-956481 | 20000811 |
| | US 6630495 | B1 | 20031007 | US 2002-49981 | 20020722 |
| PRAI | GB 1999-19588 | A | 19990818 | | |
| | WO 2000-EP8269 | W | 20000811 | | |

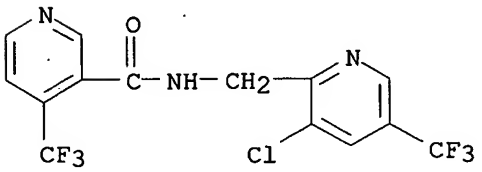
OS MARPAT 134:174247

AB The fungicidal nitrogen compds. A1CR1R2NR3LA2 and A1CR1R2N:CYA2 [A1 = (un)unsubstituted 2-pyridyl or its N-oxide; A2 = (un)substituted heterocyclyl or carbocyclyl; R1, R2 = alkyl, alkenyl, cyano, nitro, halo, etc.; L = CO, CS, SO2, etc., Y = halo, alkoxy, alkylthio, etc.] are prepared

IT **164341-60-0P 326475-70-1P 326475-71-2P**
**326475-74-5P 326475-75-6P 326475-80-3P**
**326475-82-5P 326475-97-2P 326475-98-3P**
**326475-99-4P 326476-00-0P 326476-01-1P**
**326476-02-2P 326476-05-5P 326476-27-1P**
**326476-31-7P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as fungicide)

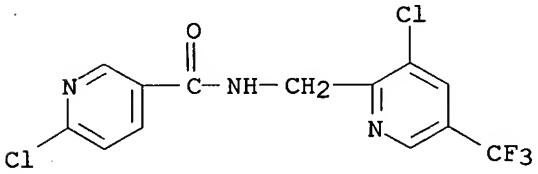
RN 164341-60-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



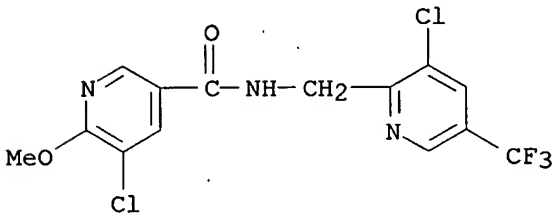
RN 326475-70-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



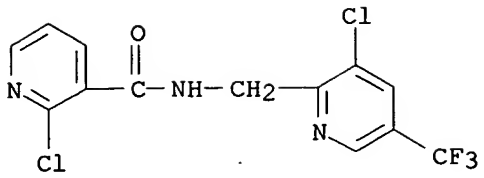
RN 326475-71-2 CAPLUS

CN 3-Pyridinecarboxamide, 5-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methoxy- (9CI) (CA INDEX NAME)

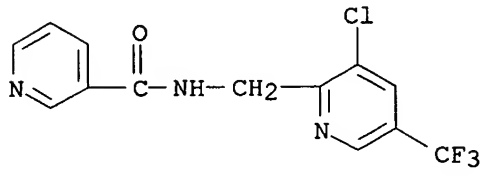


RN 326475-74-5 CAPLUS

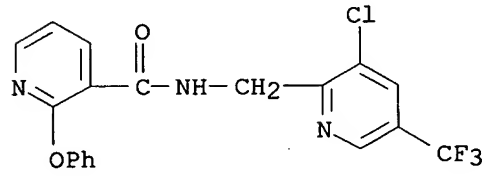
CN 3-Pyridinecarboxamide, 2-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



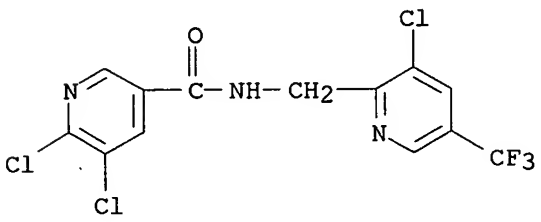
RN 326475-75-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



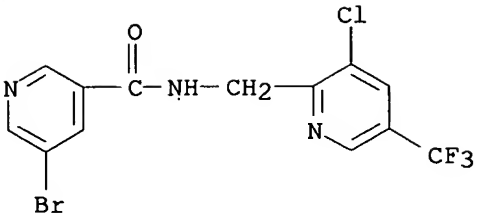
RN 326475-80-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-phenoxy- (9CI) (CA INDEX NAME)



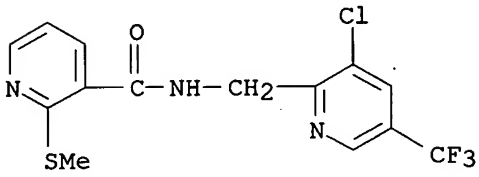
RN 326475-82-5 CAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



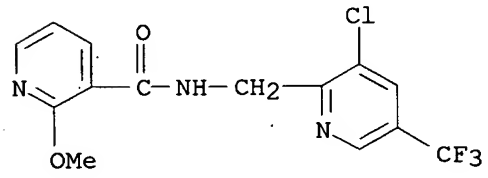
RN 326475-97-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



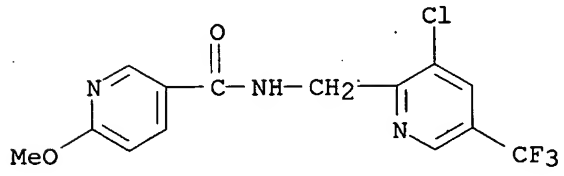
RN 326475-98-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-(methylthio)- (9CI) (CA INDEX NAME)



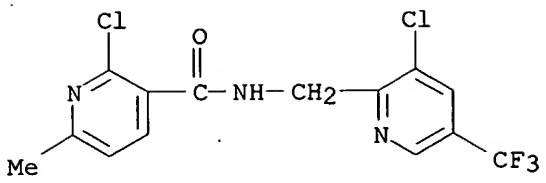
RN 326475-99-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



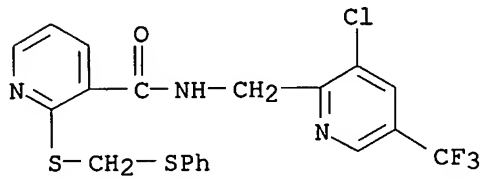
RN 326476-00-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methoxy- (9CI) (CA INDEX NAME)



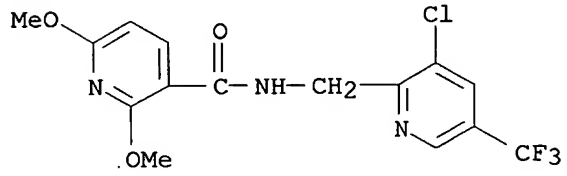
RN 326476-01-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



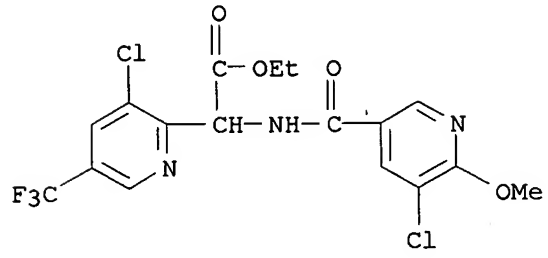
RN 326476-02-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2-[[(phenylthio)methyl]thio]- (9CI) (CA INDEX NAME)



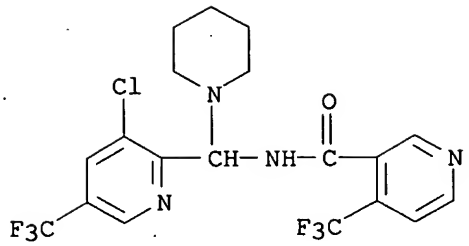
RN 326476-05-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)



RN 326476-27-1 CAPLUS
CN 2-Pyridineacetic acid, 3-chloro-α-[[(5-chloro-6-methoxy-3-pyridinyl)carbonyl]amino]-5-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



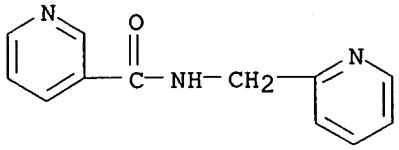
RN 326476-31-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperidinylmethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



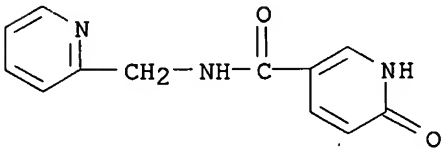
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:840671 CAPLUS
DN 134:95135
TI Comparative quantitative structure-activity study of radical scavengers
AU Vajragupta, Opa; Boonchoong, Preecha; Wongkrajang, Yuvadee
CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Mahidol University, Bangkok, 10400, Thailand
SO Bioorganic & Medicinal Chemistry (**2000**), 8(11), 2617-2628
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB Classic and three-dimensional (3-D) QSAR analyses of 13 radical scavengers were performed to derive 2 classic, 2 Apex-3-D and 1 comparative field anal. (CoMFA) models. Two classical models with predictive cross-validated r2 (Q2) over 0.96 indicated that the activity was attributed to the electronic COH and ELUMO, steric molar refractivity (MR) and lipophilic log P. Three-dimensional quant. structure-activity relationship (3-D-QSAR) studies were performed by 3-D pharmacophore generation (Apex-3-D) and CoMFA techniques. For Apex-3-D studies, 2 best models with high Q2 (0.94 and 0.97) were yielded. Structural properties contributing to the activity were not only lipophilic but also the optimum steric property and geometry of side-chain composition For CoMFA studies, the sp3 C(+1) probe provided the best Q2 of 0.79 with steric and electrostatic contributions of 42.3 and 57.7%, resp. The activity of 4 new compds. not included in the derivation were predicted with these models. Although the derived models were from limited data, the statistic relation was predictive. The linear correlations between the exptl. IC50 values and the predicted values from classical and Apex-3-D models were high and significant. The predicted activity of 17 from CoMFA was much lower than the exptl. value; this deviation occurred according to the missing of hydrophobic field in standard CoMFA study. In vitro and ex vivo antilipid peroxidn. in mouse brain and ESR studies of were investigated for the radical-scavenging ability. The difference between the in vitro results, antilipid peroxidn. and ESR and ex vivo results in coumarin series was found. Thus, other properties for good bioavailability besides log P should also be taken into consideration.
IT **25297-40-9 313988-83-9**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(comparative quant. structure-activity study of)
RN 25297-40-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

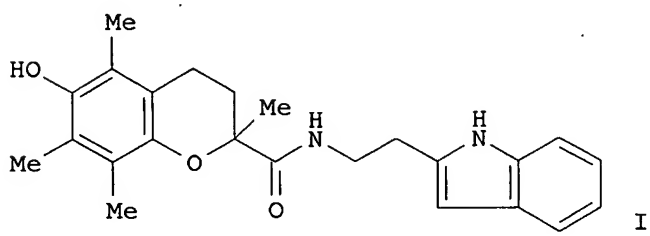


RN 313988-83-9 CAPLUS
CN 3-Pyridinecarboxamide, 1,6-dihydro-6-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:763739 CAPLUS
DN 134:50997
TI Chroman amide and nicotinyl amide derivatives: inhibition of lipid peroxidation and protection against head trauma
AU Vajragupta, Opa; Toasaksiri, Suwanna; Boonyarat, Chantana; Wongkrajang, Yuvadee; Peungvicha, Penchom; Watanabe, Hiroshi; Boonchoong, Preecha
CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Mahidol University, Bangkok, 10400, Thailand
SO Free Radical Research (**2000**), 32(2), 145-155
CODEN: FRARER; ISSN: 1071-5762
PB Harwood Academic Publishers
DT Journal
LA English
GI



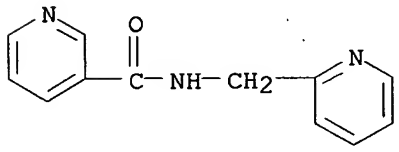
I

AB A series of chroman amide and nicotinyl amide derivs. was designed and synthesized for the treatment of traumatic and ischemic CNS injury. Five compds. were significantly more potent inhibitors of lipid peroxidn. in

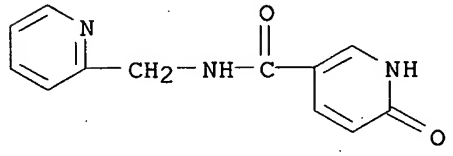
vitro than the reference antioxidant, trolox ($p < 0.01$). Quant. structure activity studies demonstrated that the inhibitory action was related to the ability to donate electrons, charge on hydroxy group and ELUMO, to scavenging radicals and to the lipophilicity log P, which dets. penetration of membrane lipids. ESR study indicated the ability of 12 to scavenge the hydroxyl radicals. The most promising compound, [(3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2H-1-benzopyran-2yl)carbonyl]-3 '-(aminoethyl) indole (12), inhibited ex vivo lipid peroxidn. in a head injury model and showed potent in vivo neuroprotective efficacy. Improvement of neurol. recovery within 1 h of injury (grip test score) by as much as 200% was observed together with significant anti-anoxia activity. Compound (I) was a potent antagonist of methamphetamine-induced hypermotility resulting from dopamine release in the mouse brain. These results support the importance of cerebroprotective radical-scavenging agents for the treatment of traumatic injury and anoxia as well as provide addnl. evidence for the role of oxygen radicals and dopamine in brain damage.

IT **25297-40-9P 313988-83-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(chroman amide and nicotinyl amide derivs. inhibit lipid peroxidn. and protect against head trauma)

RN 25297-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 313988-83-9 CAPLUS
CN 3-Pyridinecarboxamide, 1,6-dihydro-6-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



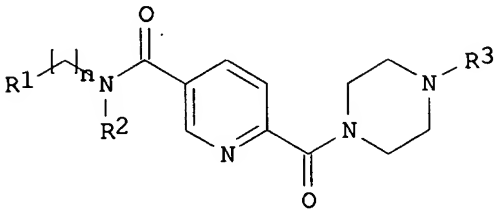
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:736698 CAPLUS
DN 131:337036
TI Preparation of novel 2,5-pyridinedicarboxylic acid derivatives as anti-retroviral agents
IN Yoon, Sung Joon; Lee, Sang Wook; Sim, Hyeong Su; Park, Yong Kyun; Yang, Wang Yong; Kim, Jong Woo; Han, Jae Jin; Yoon, Je In; Park, Sang Jin; Park, Hee Jeoung; Sin, Dong Hyuk; Chang, Hwan Bong

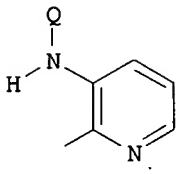
PA Dong Wha Parm. Ind. Co., Ltd., S. Korea; et al.
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9958526 | A1 | 19991118 | WO 1999-KR213 | 19990501 <-- |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9935391 | A1 | 19991129 | AU 1999-35391 | 19990501 <-- |
| | US 6306860 | B1 | 20011023 | US 2000-674844 | 20001107 <-- |
| PRAI | KR 1998-17153 | A | 19980513 | | |
| | KR 1998-19555 | A | 19980528 | | |
| | KR 1998-28258 | A | 19980714 | | |
| | WO 1999-KR213 | W | 19990501 | | |

OS MARPAT 131:337036
GI



I

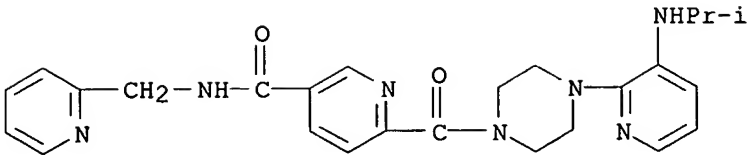


II

AB The title compds. [I; R1 = OH, alkyl, cycloalkyl, etc.; R2 = H, Ph, alkyl, etc.; R3 = II (wherein Q = H, alkyl, 2-hydroxyethyl); n = 0-4], useful as anti-retroviral agents, having inhibitory activities against the proliferation of retrovirus such as hepatitis B virus and human immunodeficiency virus, were prepared Thus, treatment of 6-{1-[3-(isopropylamino)-2-pyridyl]piperazine-4-ylcarbonyl}nicotinic acid (preparation given) in CH2Cl2 with Et3N and pivaloyl chloride followed by the addition of Et3N and HO(CH2)2NH2 afforded 83% I [R1 = OH; R2 = H; R3 = II; Q = iPr; n = 2] which showed inhibitory activity (e.g., 51% at 0.1 μg/mL) against HBV polymerase in vitro.

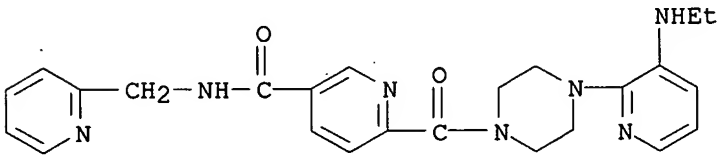
IT **250145-49-4P 250145-50-7P 250145-51-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2,5-pyridinedicarboxylic acid derivs. as anti-retroviral agents)

RN 250145-49-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

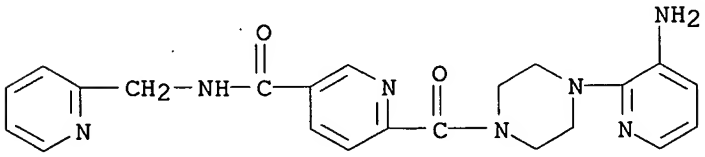


● HCl

RN 250145-50-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[4-[3-(ethylamino)-2-pyridinyl]-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 250145-51-8 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[4-(3-amino-2-pyridinyl)-1-piperazinyl]carbonyl]-N-(2-pyridinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

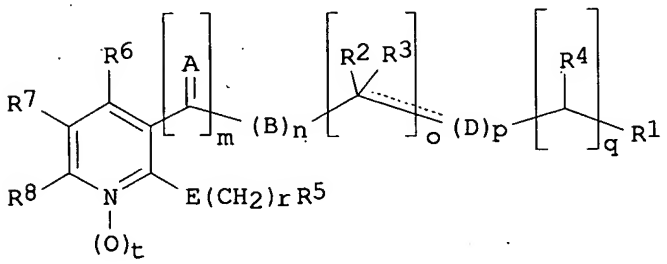
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:682365 CAPLUS
DN 129:316147
TI Preparation of nicotinamides as PDE4 D isoenzymes inhibitors
IN Marfat, Anthony; Chambers, Robert James; Watson, John Wesley; Cheng, John Bin; Duplantier, Allen Jacob; Kleinman, Edward Fox
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| PI | WO 9845268 | A1 | 19981015 | WO 1998-IB315 | 19980310 <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2285548 | AA | 19981015 | CA 1998-2285548 | 19980310 <-- |
| | AU 9862273 | A1 | 19981030 | AU 1998-62273 | 19980310 <-- |
| | AU 738037 | B2 | 20010906 | | |
| | EP 971894 | A1 | 20000119 | EP 1998-904343 | 19980310 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| | TR 9902432 | T2 | 20000121 | TR 1999-9902432 | 19980310 <-- |
| | JP 2000510481 | T2 | 20000815 | JP 1998-542528 | 19980310 <-- |
| | BR 9810733 | A | 20000912 | BR 1998-10733 | 19980310 <-- |
| | TW 519539 | B | 20030201 | TW 1998-87104586 | 19980326 |
| | ZA 9802853 | A | 19991004 | ZA 1998-2853 | 19980403 <-- |
| | HR 980181 | B1 | 20030630 | HR 1998-980181 | 19980403 |
| | US 6380218 | B1 | 20020430 | US 1999-308956 | 19990527 |
| | BG 64356 | B1 | 20041130 | BG 1999-103725 | 19990909 |
| | NO 9904791 | A | 19991201 | NO 1999-4791 | 19991001 <-- |
| | NO 314182 | B1 | 20030210 | | |
| | MX 9909099 | A | 20000228 | MX 1999-9099 | 19991004 <-- |
| | US 2002111495 | A1 | 20020815 | US 2002-62811 | 20020131 |
| | JP 2004083583 | A2 | 20040318 | JP 2003-201291 | 20030724 |
| PRAI | US 1997-43403P | P | 19970404 | | |
| | JP 1998-542528 | A3 | 19980310 | | |
| | WO 1998-IB315 | W | 19980310 | | |
| | US 1998-105120P | P | 19981021 | | |
| | US 2001-265240P | P | 20010131 | | |
| OS | MARPAT 129:316147 | | | | |

GI



I

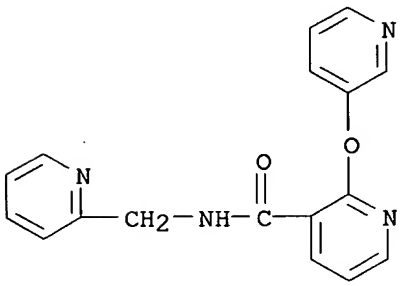
AB Title compds. [I; wherein m is 0 or 1; n is 0 or 1; o is 0-4; p is 0 or 1; q is 0 or 1; r is 0-4; t is 0 or 1; A is oxygen, NH, or sulfur; B is oxygen or NH; D is oxygen, NH, or alkylamino; E is CH2, O, NH, SO, SO2, S; R1 is H, alkyl, cycloalkyl, aryl, etc.; R2,R3 together with attached carbon form carbonyl group or cycloalkyl ring; R2, R3, R4 is independently H, OH, CN, CO2H, alkyl, etc.; R5 is cyclic, bicyclic, aryl; R6, R7 and R8 are each independently H, CN, COOH, NO2, OH, alkyl, etc.] and pharmaceutical composition are prepared for the treatment of respiratory,

allergic, rheumatoid, body weight regulation, inflammatory and central nervous system disorders such as asthma, chronic obstructive pulmonary disease, adult respiratory diseases syndrome, shock, fibrosis, pulmonary hypersensitivity, allergic rhinitis, atopic dermatitis, psoriasis, weight control, rheumatoid arthritis, cachexia, Crohn's disease, ulcerative colitis, arthritic conditions and other inflammatory diseases, depression, multi-infarct dementia and AIDS.

IT **214756-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamides as PDE4 D isoenzymes inhibitors)

RN 214756-68-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)-2-(3-pyridinyloxy)- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:464318 CAPLUS

DN 125:114673

TI Preparation of benzyloxyphenylalkylbenzoates and related compounds as analgesics and prostaglandin antagonists

IN Breault, Gloria Ann; Oldfield, John; Tucker, Howard; Warner, Peter

PA Zeneca Limited, UK

SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9611902 | A1 | 19960425 | WO 1995-GB2417 | 19951012 <-- |
| | W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ | | | | |
| | RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | ZA 9508622 | A | 19960412 | ZA 1995-8622 | 19951012 <-- |
| | AU 9536162 | A1 | 19960506 | AU 1995-36162 | 19951012 <-- |
| | EP 733033 | A1 | 19960925 | EP 1995-933542 | 19951012 <-- |
| | EP 733033 | B1 | 19991222 | | |

R: CH, DE, FR, GB, IT, LI

| | | | | | |
|---|---|---|---|---|---|
| | JP 09511529 | T2 | 19971118 | JP 1995-513027 | 19951012 <-- |
| | US 5811459 | A | 19980922 | US 1996-647977 | 19960604 <-- |
| PRAI | GB 1994-20557 | A | 19941012 | | |
| | WO 1995-GB2417 | W | 19951012 | | |

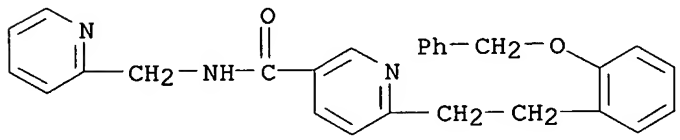
OS MARPAT 125:114673

AB Ortho-substituted Ph, naphthyl, and heterocyclic ethers (> 600 compds.) were prepared for use in treating pain mediated by the E-type prostaglandins (no data). Thus, 2-PhCH2OC6H4(CH2)3C6H4CO2H-4 was prepared from 2-HOC6H4Ac and 4-OCHC6H4CO2Me in 5 steps.

IT **179255-00-6P 179255-14-2P 179255-16-4P**
**179255-21-1P 179255-32-4P 179255-33-5P**
**179255-35-7P 179256-57-6P 179256-63-4P**
**179256-66-7P 179256-95-2P 179257-07-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyloxyphenylalkylbenzoates and related compds. as analgesics and prostaglandin antagonists)

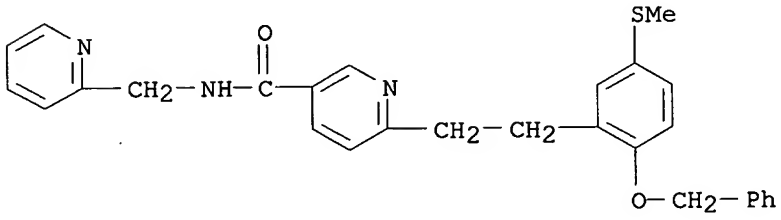
RN 179255-00-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-[2-[2-(phenylmethoxy)phenyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



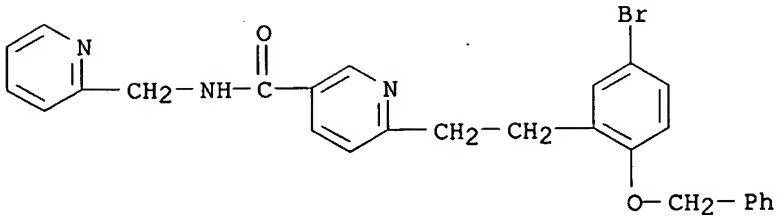
RN 179255-14-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-[2-[5-(methylthio)-2-(phenylmethoxy)phenyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

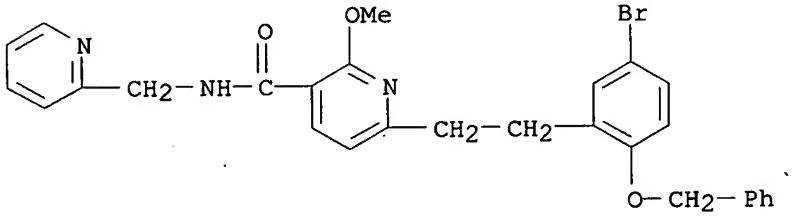


RN 179255-16-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-[2-[5-bromo-2-(phenylmethoxy)phenyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

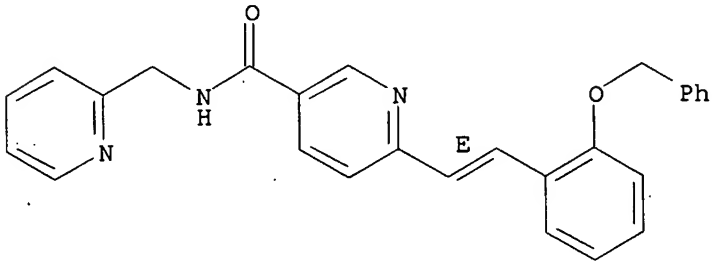


RN 179255-21-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-bromo-2-(phenylmethoxy)phenyl]ethyl]-2-methoxy-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



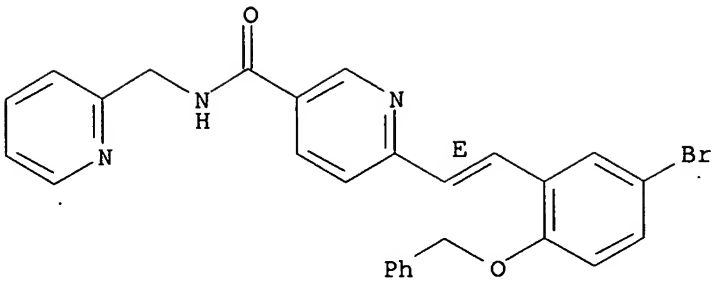
RN 179255-32-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[2-(phenylmethoxy)phenyl]ethenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



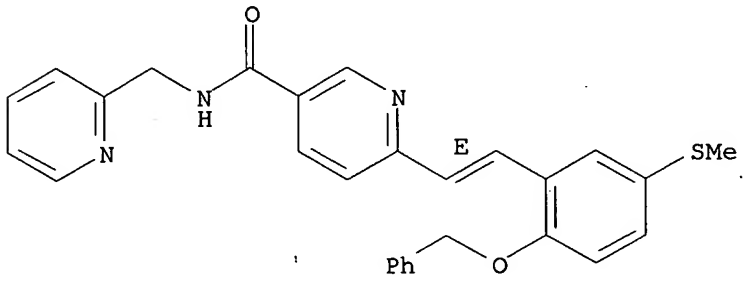
RN 179255-33-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-bromo-2-(phenylmethoxy)phenyl]ethenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

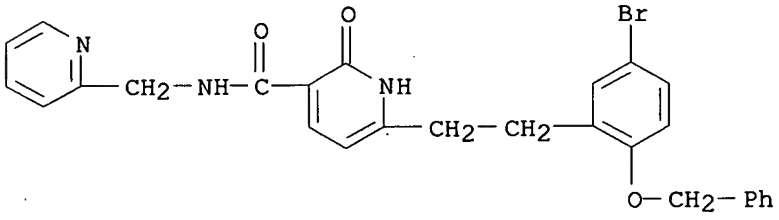


RN 179255-35-7 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-(methylthio)-2-(phenylmethoxy)phenyl]ethenyl]-N-(2-pyridinylmethyl)-, (E)- (9CI) (CA INDEX NAME)

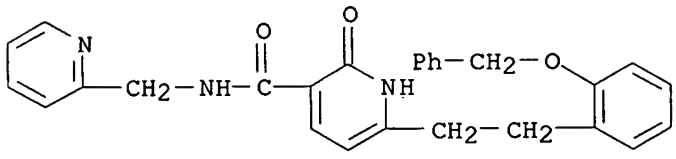
Double bond geometry as shown.



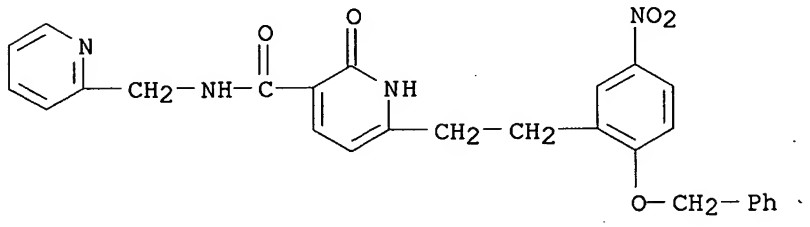
RN 179256-57-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-bromo-2-(phenylmethoxy)phenyl]ethyl]-1,2-dihydro-2-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



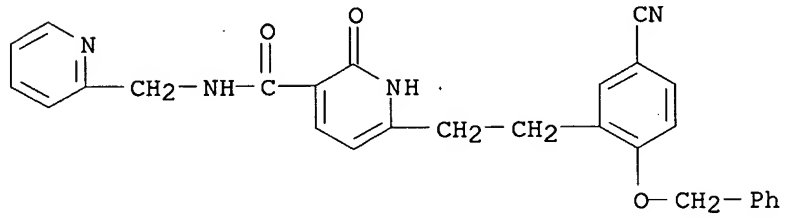
RN 179256-63-4 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-2-oxo-6-[2-[2-(phenylmethoxy)phenyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



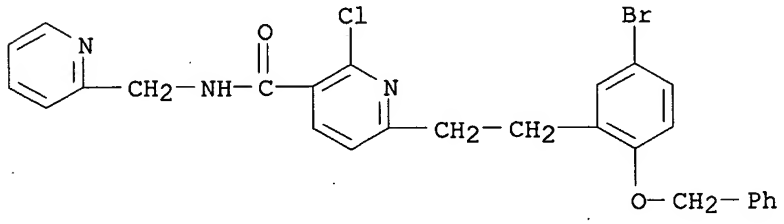
RN 179256-66-7 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-6-[2-[5-nitro-2-(phenylmethoxy)phenyl]ethyl]-2-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 179256-95-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-cyano-2-(phenylmethoxy)phenyl]ethyl]-1,2-dihydro-2-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 179257-07-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-[2-[5-bromo-2-(phenylmethoxy)phenyl]ethyl]-2-chloro-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



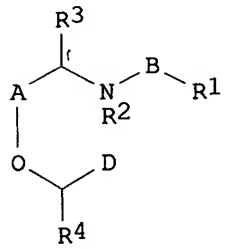
L5 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:367337 CAPLUS
DN 125:33683
TI Aromatic amino ethers as pain relieving agents
IN Breault, Gloria Anne; Oldfield, John; Tucker, Howard; Warner, Peter
PA Zeneca Limited, UK
SO PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

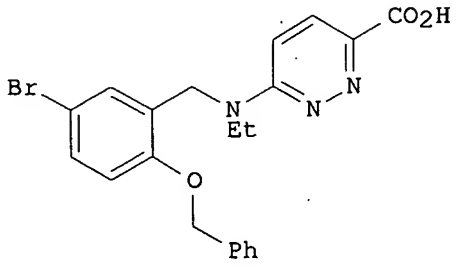
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9603380 | A1 | 19960208 | WO 1995-GB1728 | 19950721 <-- |
| | W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT, UA | | | | |
| | RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2192088 | AA | 19960208 | CA 1995-2192088 | 19950721 <-- |
| | AU 9529883 | A1 | 19960222 | AU 1995-29883 | 19950721 <-- |
| | AU 688541 | B2 | 19980312 | | |
| | EP 773930 | A1 | 19970521 | EP 1995-925943 | 19950721 <-- |
| | EP 773930 | B1 | 20001011 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| | CN 1154106 | A | 19970709 | CN 1995-194340 | 19950721 <-- |
| | CN 1085663 | B | 20020529 | | |
| | BR 9508335 | A | 19970930 | BR 1995-8335 | 19950721 <-- |
| | HU 76606 | A2 | 19971028 | HU 1996-3338 | 19950721 <-- |
| | JP 10503487 | T2 | 19980331 | JP 1995-505573 | 19950721 <-- |
| | AT 196898 | E | 20001015 | AT 1995-925943 | 19950721 <-- |
| | ES 2150577 | T3 | 20001201 | ES 1995-925943 | 19950721 <-- |
| | PT 773930 | T | 20010131 | PT 1995-925943 | 19950721 <-- |
| | TW 411328 | B | 20001111 | TW 1995-84107606 | 19950722 <-- |
| | ZA 9506149 | A | 19960207 | ZA 1995-6149 | 19950724 <-- |
| | FI 9700261 | A | 19970122 | FI 1997-261 | 19970122 <-- |
| | NO 9700314 | A | 19970313 | NO 1997-314 | 19970124 <-- |
| | NO 308032 | B1 | 20000710 | | |
| | US 5843942 | A | 19981201 | US 1997-776275 | 19970124 <-- |
| | CN 1286254 | A | 20010307 | CN 2000-104017 | 20000310 <-- |
| | GR 3034603 | T3 | 20010131 | GR 2000-402119 | 20001012 <-- |
| PRAI | GB 1994-14924 | A | 19940725 | | |
| | GB 1995-1288 | A | 19950124 | | |
| | WO 1995-GB1728 | W | 19950721 | | |

OS MARPAT 125:33683

GI



I



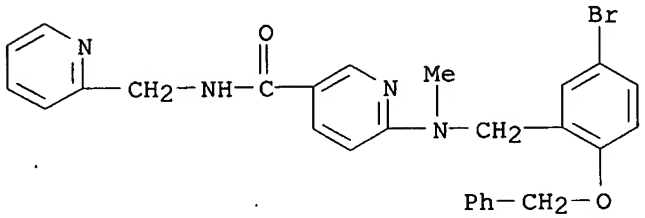
II

AB The invention relates to compds. I [A = (un)substituted Ph, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidyl, thienyl, thiazolyl, oxazolyl, thiadiazolyl having ≥ 2 adjacent ring C atoms, or bicyclic ring system, provided that the shown sidechains on A are in a 1,2-relationship, and the 3-position is unsubstituted; B, D = (un)substituted ring system; R1 = various groups; R2 = H, alk(en/yn)yl, phenylalkyl, 5- or 6-membered

heteroarylalkyl; R3, R4 = H or alkyl] and their N-oxides, S-oxides, pharmaceutically acceptable salts, and in vivo-hydrolyzable esters and amides. Also claimed are processes for their preparation, intermediates, use as therapeutic agents, and pharmaceutical compns. I are analgesics which are structurally different from NSAIDS and opiates, and which may also possess antiinflammatory, antipyretic, and antidiarrheal properties. For example, condensation of 6-chloropyridazine-3-carboxamide with N-ethyl-N-(2-benzyloxy-5-bromobenzyl)amine-HCl in N-methylpyrrolidinone containing NaHCO3 at 115° (85%), and hydrolysis of the carboxamide function with NaOH in iso-PrOH (97%), gave title compound II. I generally had pA2 > 5.3 for inhibition of PGE2-induced contraction of guinea pig ileum in vitro, and ED50 of 0.01-100 mg/kg orally in the i.p.-induced writhing test.

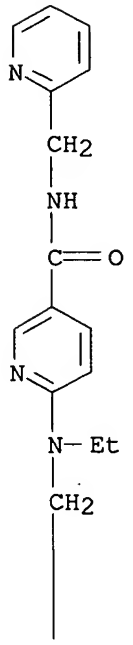
IT **177757-51-6P 177758-15-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amino ethers as analgesics)

RN 177757-51-6 CAPLUS
CN 3-Pyridinecarboxamide, 6-[[[5-bromo-2-(phenylmethoxy)phenyl]methyl]methylamino]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

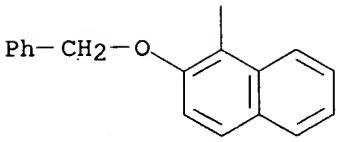



RN 177758-15-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-[ethyl[[2-(phenylmethoxy)-1-naphthalenyl]methyl]amino]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



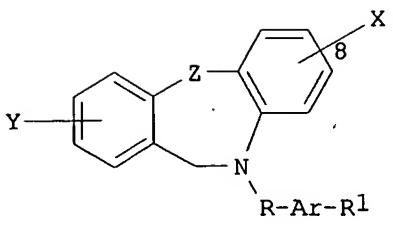
PAGE 2-A



L5 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:661170 CAPLUS
DN 123:228228
TI Aryl-substituted dibenzoxazepine compounds, pharmaceutical compositions and methods of use as prostaglandin E2 antagonists and analgesics
IN Chandrakumar, Nizal S.; Huang, Horng Chih; Mueller, Richard A.
PA G. D. Searle and Co., USA
SO U.S., 30 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5420270 | A | 19950530 | US 1993-133681 | 19931007 <-- |
| | US 5512561 | A | 19960430 | US 1995-402257 | 19950310 <-- |
| PRAI | US 1993-133681 | A3 | 19931007 | | |

OS MARPAT 123:228228
GI



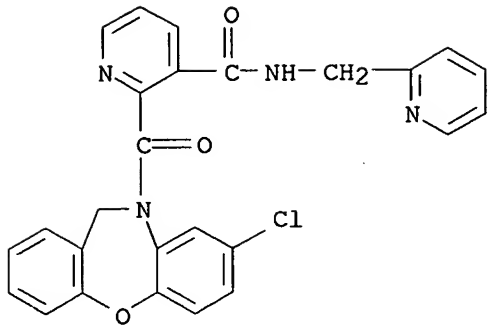

I

AB The present invention provides substituted dibenzoxazepine compds. I or a pharmaceutically-acceptable salt thereof, (wherein: X is hydrogen, halogen or CF3; Y is hydrogen or halogen; Z is oxygen, sulfur, SO, or SO2; R is CO, CH2, SO, or SO2; Ar is aryl; and R1 is hydrogen, halogen, aryl, alkylaryl, alkenylaryl, alkynylaryl, carboxy, carbonylalkoxy or carbonylaminoalkylaryl, with the proviso that R is not CH2 when R1 is carboxy, Ph or alkylphenyl), which are useful as analgesic agents for the treatment of pain, and for the treatment of prostaglandin E2-mediated diseases, pharmaceutical compns. comprising a therapeutically-effective amount of I in combination with a pharmaceutically-acceptable carrier, a method for eliminating or ameliorating pain in an animal, and a method for treating prostaglandin E2-mediated diseases in an animal, comprising administering a therapeutically-effective amount I to the animal. Thus, e.g., hydrogenation of 8-chloro-10,11-dihydro-10-[2-[(4-pyridinyl)ethynyl]benzoyl]dibenz[b,f][1,4]oxazepine (preparation given) with Raney-Ni afforded 8-chloro-10,11-dihydro-10-[4-[2Z-(4-pyridinyl)ethenyl]benzoyl]dibenz[b,f][1,4]oxazepine hydrochloride and 8-chloro-10,11-dihydro-10-[4-[2-(4-pyridinyl)ethyl]benzoyl]dibenz[b,f][1,4]oxazepine hydrochloride I.HCl [Z = O, Y = H, X = 8-Cl; R = CO, Ar = 1,4-phenylene, R1 = 2Z-(4-pyridinyl)ethenyl and 2-(4-pyridinyl)ethyl, resp.] which produced analgesia in 9/10 and 9/10 mice in the writhing assay, resp., and demonstrated PGE antagonism with a dose ratio of EC50 doses of 1.1 and 2.29, resp.

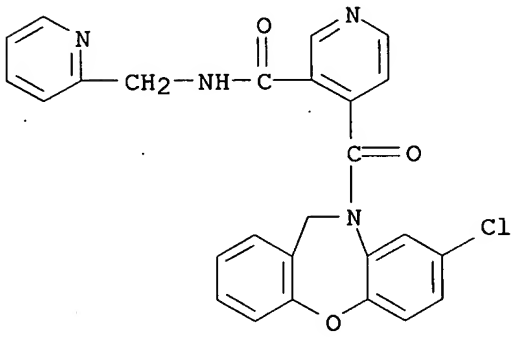
IT **168173-27-1P 168173-37-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(aryl-substituted dibenzoxazepine compds., pharmaceutical compns. and methods of use as prostaglandin E2 antagonists and analgesics)

RN 168173-27-1 CAPLUS

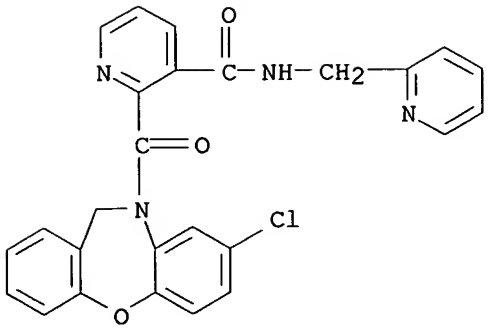
CN 3-Pyridinecarboxamide, 2-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 168173-37-3 CAPLUS
CN 3-Pyridinecarboxamide, 4-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

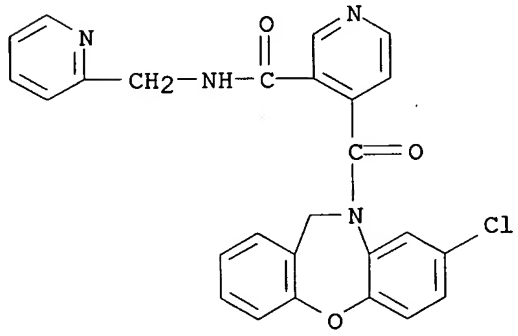


IT **168172-95-0P 168172-99-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl-substituted dibenzoxazepine compds., pharmaceutical compns. and methods of use as prostaglandin E2 antagonists and analgesics)
RN 168172-95-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-N-(2-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 168172-99-4 CAPLUS
CN 3-Pyridinecarboxamide, 4-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-N-(2-pyridinylmethyl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

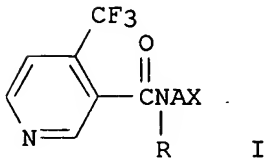


●5/2 HCl

L5 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:648066 CAPLUS
DN 123:55702
TI Preparation of pyridinecarboxamide derivatives as biocides
IN Koyanagi, Tooru; Morita, Masayuki; Yoneda, Tetsuo; Kagimoto, Chiharu
PA Ishihara Sangyo Kaisha, Japan
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 07025853 | A2 | 19950127 | JP 1993-196888 | 19930714 <-- |

PRAI JP 1993-196888 19930714
OS MARPAT 123:55702
GI

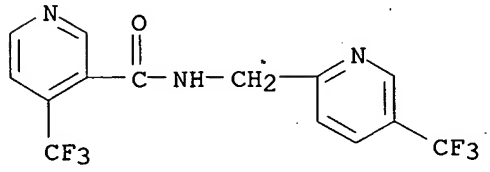


I

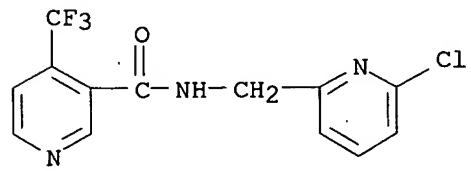
AB Pyridinecarboxamides I [A = alkanediyl, (un)substituted heterocyclyl; R = H, alkyl; if R = H and A = CH2, then X ≠ unsubstituted pyridyl, same thienyl, or same furyl] and their salts, useful as insecticides and acaricides, were prepared Thus, hydrogenation of 2-cyano-5-trifluoromethylpyridine gave 5-trifluoromethyl-2-pyridylmethylamine, condensation of which with 4-trifluoromethyl-3-pyridinecarbonyl chloride gave N-[5-(trifluoromethyl)-2-pyridylmethyl]-4-trifluoromethyl-3-pyridinecarboxamide (II). II showed insecticidal activity against Myzus persicae.

IT **164341-40-6P 164341-43-9P 164341-46-2P**
**164341-48-4P 164341-50-8P 164341-60-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxamide derivs. as biocides)

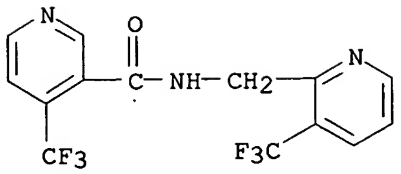
RN 164341-40-6 CAPLUS
CN 3-Pyridinecarboxamide, 4-(trifluoromethyl)-N-[[5-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



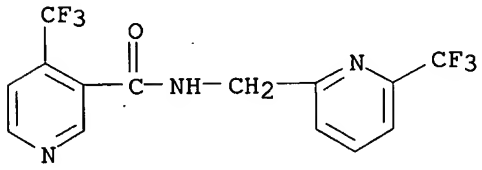
RN 164341-43-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(6-chloro-2-pyridinyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



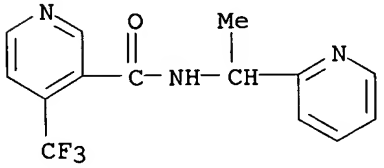
RN 164341-46-2 CAPLUS
CN 3-Pyridinecarboxamide, 4-(trifluoromethyl)-N-[[3-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



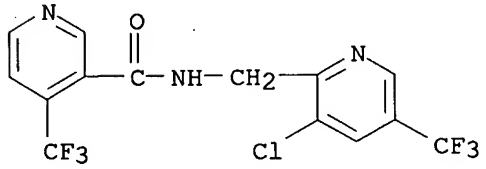
RN 164341-48-4 CAPLUS
CN 3-Pyridinecarboxamide, 4-(trifluoromethyl)-N-[[6-(trifluoromethyl)-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 164341-50-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(2-pyridinyl)ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 164341-60-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

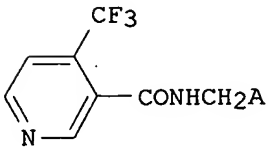


L5 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:638315 CAPLUS
DN 123:32961
TI Preparation of 4-(trifluoromethyl)pyridine-3-carboxamide derivatives as pesticides
IN Haga, Takahiro; Morita, Masayuki; Suchiibun, Hooru Buraun
PA Ishihara Sangyo Kaisha, Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 07010841 | A2 | 19950113 | JP 1993-187685 | 19930621 <-- |
| PRAI | JP 1993-187685 | | 19930621 | | |

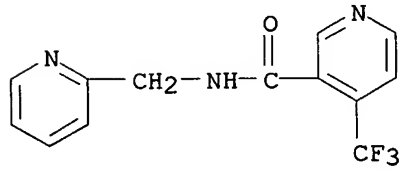
OS MARPAT 123:32961
GI



I

AB The title compds. (I; A = pyridyl, thienyl, furyl), useful as insecticides, nematocides, and acaricides, and for controlling harmful insects of soil, are prepared Thus, 3-cyano-2,6-dihydroxy-4-trifluoromethylpyridine was chlorinated by POCl3 at 160° for 18 h in an autoclave to give 3-cyano-2,6-dichloro-4-trifluoromethylpyridine which was hydrogenated over PdCl2 in the presence of AcONa in MeOH at H pressure 230 psi to give 3-cyano-4-trifluoromethylpyridine. The latter compound was hydrolyzed with aqueous NaOH under reflux to give, after acidification with concentrated HCl, 4-trifluoromethylpyridine-3-carboxylic acid
which was chlorinated with SOCl2 in the presence of DMF to give 4-trifluoromethylpyridine-3-carbonyl chloride. The latter acid chloride was condensed with 3-aminomethylpyridine in CH2Cl2 to give a title compound I (A = 3-pyridyl) (II). II at 800 ppm killed 100% adult Myzus persicae on a leaf of an egg plant.

IT **164149-37-5P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (trifluoromethyl)pyridinecarboxamide derivs. as pesticides)
RN 164149-37-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

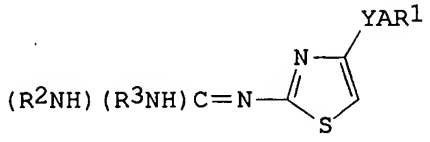


L5 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:536088 CAPLUS
DN 115:136088
TI Preparation of thiazoles as H2 antagonists for treatment of ulcer

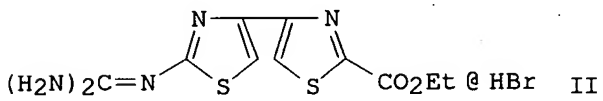
IN Takasugi, Hisashi; Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 105 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 417751 | A2 | 19910320 | EP 1990-117530 | 19900912 <-- |
| | EP 417751 | A3 | 19910911 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | ZA 9006638 | A | 19910626 | ZA 1990-6638 | 19900821 <-- |
| | AU 9062421 | A1 | 19910321 | AU 1990-62421 | 19900911 <-- |
| | AU 641425 | B2 | 19930923 | | |
| | JP 03141270 | A2 | 19910617 | JP 1990-245742 | 19900913 <-- |
| | JP 07030056 | B4 | 19950405 | | |
| | CA 2025356 | AA | 19910316 | CA 1990-2025356 | 19900914 <-- |
| | NO 9004020 | A | 19910318 | NO 1990-4020 | 19900914 <-- |
| | CN 1050191 | A | 19910327 | CN 1990-107681 | 19900914 <-- |
| | HU 59135 | A2 | 19920428 | HU 1990-5918 | 19900914 <-- |
| | US 5364871 | A | 19941115 | US 1993-29359 | 19930310 <-- |
| | US 5371097 | A | 19941206 | US 1993-80051 | 19930622 <-- |
| PRAI | GB 1989-20977 | A | 19890915 | | |
| | GB 1989-28610 | A | 19891219 | | |
| | GB 1990-12962 | A | 19900611 | | |
| | US 1990-571151 | B2 | 19900823 | | |
| | US 1991-668915 | B1 | 19910313 | | |
| | US 1992-825832 | B1 | 19920128 | | |
| | US 1992-887665 | B1 | 19920526 | | |

OS MARPAT 115:136088
GI




I

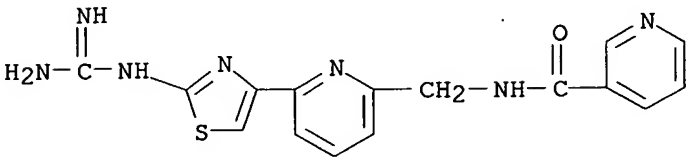



II

AB Title compds. I; [R1= (substituted) amino, HO, halo, cyano, acyl, heterocyclyl, heterocyclylthio, R4N:CR5; R4 = H, cyano, acyl; R5 = H2N, alkoxy; R2, R3 = H, acyl, (halo)alkyl, R2R3 = alkylene; Y = (halo)pyridyl, thiazolyl; A = bond, alkylene] or a salt thereof, are prepared Et 4-acetylthiazole-2-carboxylate and Br were stirred in MeOH for8 h at room temperature to give the bromo derivative which with (H2N)2C:NC(S)NH2 in EtOH was refluxed to give the ester salt II. In test for inhibition of stress ulcer in rats, II at 32 mg/kg showed 93.9% inhibition.

IT **135451-93-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiulcer agent or H2-receptor antagonist)

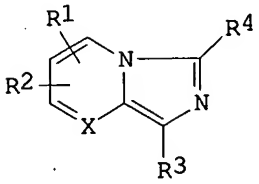
RN 135451-93-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[6-[2-[(aminoiminomethyl)amino]-4-thiazolyl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:576064 CAPLUS
DN 107:176064
TI Preparation of imidazo[1,2-a]pyridines and imidazo[1,5-a]pyrimidines as cardiotonics
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 62135473 | A2 | 19870618 | JP 1986-290820 | 19861205 <-- |
| PRAI GB 1985-30144 | A | 19851206 | | |

OS CASREACT 107:176064
GI

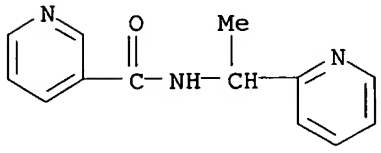


I

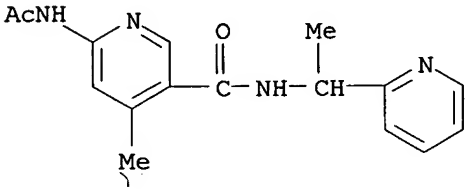
AB The title compds. [I; R1 = H, alkyl; R2 = H, halo, OH, alkyl, alkoxy, alkylthio, alkylamino; R3 = H, alkyl; R4 = (un)substituted heterocyclyl; X = N, CH; provided R3 = alkyl when R1 = H, R2 = H or alkoxy and R4 = alkoxy-2-pyridyl] were prepared as cardiotonics. A mixture of 2.73 g 1-(2-pyridyl)ethylamine-AcOH and 7.5 mL Me3SiOCMe:NSiMe3 in CH2Cl2 was stirred for 15 min and 2.49 g isonicotinic acid was added to the resulting mixture at 15° and the mixture was stirred for 1 h to give 1.35 g N-[1-(2-pyridyl)ethyl]isonicotinamide (II). A mixt of 3.4 g II and 50 mL POCl3 was refluxed for 2 h to give 0.75 g I (R1 = R2 = H, R3 = Me, R4 = 4-pyridyl, X = CH). This increased max dp/dt value of blood pressure in dog left ventricle by 94% at 1.0 mg/kg i.v.

IT **110859-61-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, imidazopyridine derivative from)

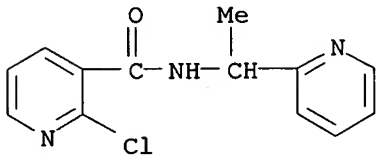
RN 110859-61-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



IT **110859-94-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiotonic)
RN 110859-94-4 CAPLUS
CN 3-Pyridinecarboxamide, 6-(acetylamino)-4-methyl-N-[1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



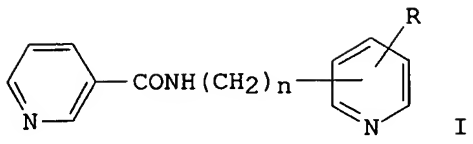
IT **110859-59-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiotonic imidazopyridine derivative)
RN 110859-59-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-N-[1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:603229 CAPLUS
DN 97:203229
TI Hypoglycemic nicotinamide derivatives
PA Chugai Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

PI JP 57131719 A2 19820814 JP 1981-17414 19810210 <--
PRAI JP 1981-17414 19810210
GI

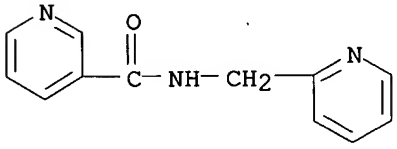


I

AB Hypoglycemic formulations contain I (R = H, alkyl, or alkoxy; n = 0 or 1). Thus, N-2-pyridylnicotinamide (II) [13160-07-1] was prepared by adding nicotinic acid-HCl [636-79-3] to a solution consisting of 2-aminopyridine [504-29-0], Et3N and THF. Hypoglycemic tablets contain II 100, CaHPO4 58.5, crystalline cellulose 50, corn starch 40, and Ca stearate 1.5 parts. Oral administration of 200 mg II/kg to mice with exptl. hyperglycemia decreased blood sugar from 564 to 440 mg/dL in 150 min.

IT **25297-40-9P**
RL: PREP (Preparation)
(preparation of, for hypoglycemic formulations)

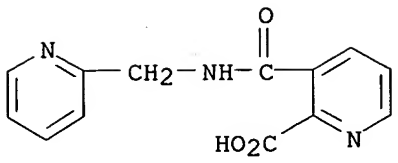
RN 25297-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1976:17095 CAPLUS
DN 84:17095
TI Synthesis of 3-N-(pyridylmethyl) amides of quinolinic acid
AU Biniecki, Stanislaw; Kabzinska, Zofia
CS Dep. Chem. Technol. Pharm. Prod., Sch. Med., Warsaw, Pol.
SO Acta Poloniae Pharmaceutica (**1975**), 32(3), 265-8
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA Polish
OS CASREACT 84:17095
GI For diagram(s), see printed CA Issue.
AB Quinolinic anhydride suspended in CHCl3 and treated with 2-, 3-, and 4-(aminomethyl)pyridine yielded 44-61% of the corresponding I.

IT **57646-74-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 57646-74-9 CAPLUS
CN 2-Pyridinecarboxylic acid, 3-[[(2-pyridinylmethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



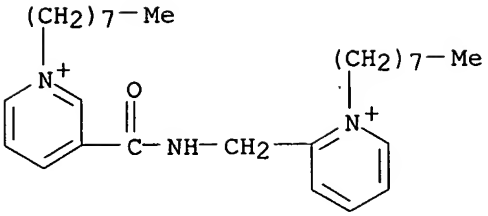
L5 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1974:82691 CAPLUS
DN 80:82691
TI Bis(pyridinium quaternary salts)
IN Edwards, Philip Neil
PA Imperial Chemical Industries Ltd.
SO U.S., 8 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 3786058 | A | 19740115 | US 1972-234648 | 19720314 <-- |
| | US 3875174 | A | 19750401 | US 1973-428677 | 19731227 <-- |
| | US 3875175 | A | 19750401 | US 1973-428678 | 19731227 <-- |
| | US 3917626 | A | 19751104 | US 1973-428694 | 19731227 <-- |
| | US 3939169 | A | 19760217 | US 1973-428692 | 19731227 <-- |
| PRAI | GB 1971-8071 | A | 19710329 | | |
| | US 1972-234648 | A3 | 19720314 | | |

GI For diagram(s), see printed CA Issue.
AB The bactericidal quaternary salts I [R = octyl, decyl, dodecyl, X = (CH2)2, (CH2)3, CH:CH, NHCONH, CH2NHCO, NHCO; Y = MeSO3, Cl, Br; linkage at 2, 3, 4], are prepared by conventional quaternization of the appropriate bis(pyridine)derivs. Thus, nicotinoyl chloride was treated with 3-(aminomethyl)pyridine and the product quaternized to give I (R = decyl, X = CH2NHCO, linkage at 3-position, Y = MeSO3). An addnl. 109 compds. are described.
IT **39641-91-3P 39641-92-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39641-91-3 CAPLUS
CN Pyridinium, 1-octyl-2-[[[(1-octylpyridinium-3-yl)carbonyl]amino]methyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

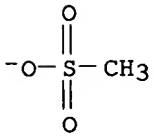
CM 1

CRN 47709-92-2
CMF C28 H45 N3 O



CM 2

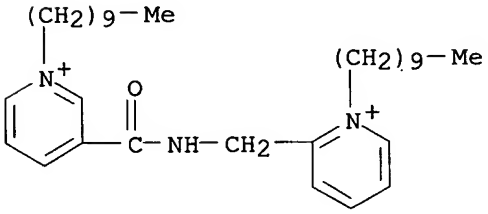
CRN 16053-58-0
CMF C H3 O3 S



RN 39641-92-4 CAPLUS
CN Pyridinium, 1-decyl-2-[[[(1-decylpyridinium-3-yl)carbonyl]amino]methyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

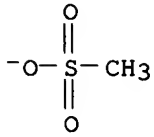
CM 1

CRN 47777-39-9
CMF C32 H53 N3 O

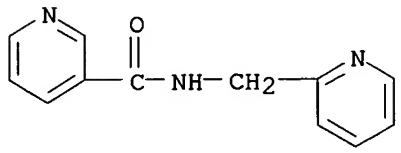


CM 2

CRN 16053-58-0
CMF C H3 O3 S



IT **25297-40-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of)
RN 25297-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1973:16041 CAPLUS
DN 78:16041
TI Pyridine derivatives
IN Edwards, Philip Neil
PA Imperial Chemical Industries Ltd.
SO Ger. Offen., 63 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

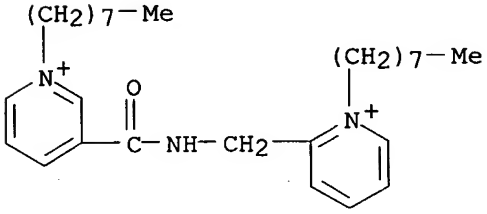
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2215503 | A | 19721012 | DE 1972-2215503 | 19720329 <-- |
| | GB 1339764 | A | 19731205 | GB 1971-8071 | 19710329 <-- |
| | ZA 7201692 | A | 19721227 | ZA 1972-1692 | 19720313 <-- |
| | CA 982568 | A1 | 19760127 | CA 1972-137067 | 19720314 <-- |
| | IT 1000017 | A | 19760330 | IT 1972-49266 | 19720327 <-- |
| | FR 2132121 | A5 | 19721117 | FR 1972-10827 | 19720328 <-- |
| | FR 2132121 | B1 | 19751226 | | |
| | BR 7201840 | A0 | 19731220 | BR 1972-1840 | 19720328 <-- |
| | BE 781428 | A1 | 19720929 | BE 1972-115731 | 19720329 <-- |
| | NL 7204232 | A | 19721003 | NL 1972-4232 | 19720329 <-- |
| | HU 164912 | P | 19740528 | HU 1972-IE497 | 19720329 <-- |
| | ES 401347 | A1 | 19750401 | ES 1972-401347 | 19720329 <-- |
| | AT 323164 | B | 19750625 | AT 1972-2721 | 19720329 <-- |
| | AT 323169 | B | 19750625 | AT 1973-10644 | 19720329 <-- |
| | AT 323170 | B | 19750625 | AT 1973-10645 | 19720329 <-- |
| | CH 575942 | A | 19760531 | CH 1972-4692 | 19720329 <-- |
| | US 3907782 | A | 19750923 | US 1973-428693 | 19731227 <-- |
| | SE 7414473 | A | 19741118 | SE 1974-14473 | 19741118 <-- |
| PRAI | GB 1971-8071 | A | 19710329 | | |

GI For diagram(s), see printed CA Issue.
AB Quaternary pyridinium salts I (R = ≥C8 alkyl, aralkyl, alkoxyalkyl, N-alkylcarbamoylmethyl; X = MeSO3, Br, Cl; Q = e.g. CH2CH2, CH:CH, NHCONH, alkylenedicarboxamido, attached in the 2-, 3-, or 4-positions on the pyridines) (110 compds.) were prepared by quaternizing the corresponding pyridines. I were used as disinfectants in dental hygiene prepns. Some of the starting pyridines were also prepared
IT **39641-91-3P 39641-92-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 39641-91-3 CAPLUS
CN Pyridinium, 1-octyl-2-[[[(1-octylpyridinium-3-yl)carbonyl]amino]methyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

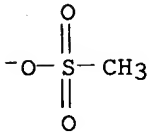
CM 1

CRN 47709-92-2
CMF C28 H45 N3 O



CM 2

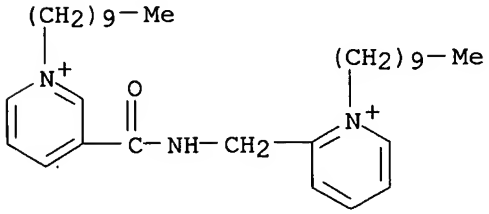
CRN 16053-58-0
CMF C H3 O3 S



RN 39641-92-4 CAPLUS
CN Pyridinium, 1-decyl-2-[[[(1-decylpyridinium-3-yl)carbonyl]amino]methyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

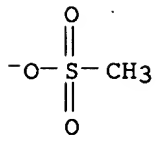
CRN 47777-39-9
CMF C32 H53 N3 O



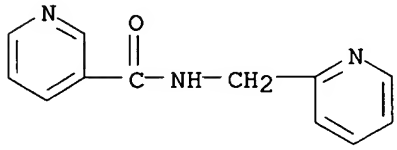
CM 2

CRN 16053-58-0

CMF C H3 O3 S

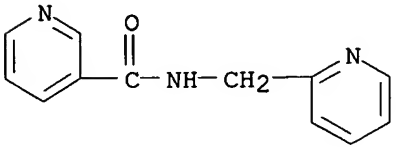


IT **25297-40-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization of)
RN 25297-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1970:66760 CAPLUS
DN 72:66760
TI Pyridylmethylamides of nicotinic acid
AU Biniecki, Stanislaw; Kabzinska, Zofia
CS Akad. Med., Warsaw, Pol.
SO Acta Poloniae Pharmaceutica (**1969**), 26(4), 277-81
CODEN: APPHAX; ISSN: 0001-6837
DT Journal
LA Polish
GI For diagram(s), see printed CA Issue.
AB Pyridylmethyl derivs. (I) of nicotinamide (II) were synthesized for biol. screening as analogs of II and nikethamide. 2-, 3-, and 4-Cyanopyridines were prepared in 92.9, 50, and 84.3% yield, resp., by refluxing (45 min) the corresponding aldoximes with Ac2O (0.9 g /g). Two methods were used to prepare aminomethylpyridines: 15 g cyanopyridine in 200 ml MeOH saturated with NH3 was hydrogenated 40 hr at room temperature at 80 atm over 10 g Raney Ni and the hydrogenation product fractionated in vacuo; or 20 g aldoxime in 150 ml EtOH was treated 4 hr with stirring with 140 g Zn dust and 200 ml AcOH (no EtOH was used with the 4-isomer; 80% AcOH was used as the solvent and the addition of Zn was carried out at 40-60°), and the mixture left 24 hr and worked up. The following aminomethylpyridines were reported (isomer, b.p./mm, and % yield in the 2 methods given): 2-pyridyl, 70-2°/2, 72, 68.2; 3-pyridyl, 82-3°/4, 106-7°/14, 60, 52; 4-pyridyl, 103-4°/14, 60, 40. These (10 g), 16.5 g nicotinoyl chloride-HCl, and 100 ml anhydrous C5H5N refluxed 1 hr gave the following I.2HCl (isomer, % yield, m.p., m.p. of the dipicrate derivative, and m.p. or b.p. I free base given): 2-pyridyl (III), 53.2, 216-17°, 125-6°, b0.2 180-1° (n20D 1.583); 3-pyridyl, 71, 219-20°, -, 106-7°; and 4-pyridyl, 56.8, 221-3°, 220-2°, 74-5°.
IT **25297-34-1P 25297-36-3P 25297-40-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 25297-34-1 CAPLUS
CN Nicotinamide, N-(2-pyridylmethyl)-, dihydrochloride (8CI) (CA INDEX NAME)

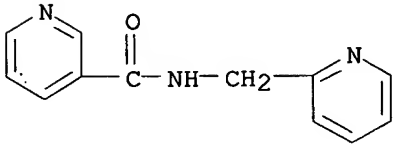


●2 HCl

RN 25297-36-3 CAPLUS
CN Nicotinamide, N-(2-pyridylmethyl)-, dipicrate (8CI) (CA INDEX NAME)

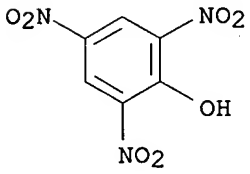
CM 1

CRN 25297-40-9
CMF C12 H11 N3 O

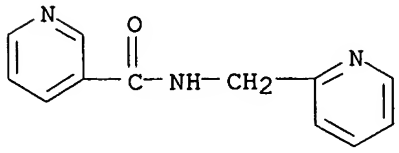


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 25297-40-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



```
=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   144.08     305.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -25.55     -25.55

STN INTERNATIONAL LOGOFF AT 14:36:41 ON 28 JUN 2005
```